

Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID:SSPTABEM1624

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\*\*\*\*\* Welcome to STN International \*\*\*\*\*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS	3	NOV 26	MARPAT enhanced with FSORT command
NEWS	4	NOV 26	CHEMSAFE now available on STN Easy
NEWS	5	NOV 26	Two new SET commands increase convenience of STN searching
NEWS	6	DEC 01	ChemPort single article sales feature unavailable
NEWS	7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS	8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS	9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS	10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS	11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS	13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS	14	FEB 10	COMPENDEX reloaded and enhanced
NEWS	15	FEB 11	WTEXTILES reloaded and enhanced
NEWS	16	FEB 19	New patent-examiner citations in 300,000 CA/CAPLUS patent records provide insights into related prior art
NEWS	17	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS	18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS	20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS	22	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS EXPRESS	JUNE 27 08		CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
NEWS HOURS			STN Operating Hours Plus Help Desk Availability
NEWS LOGIN			Welcome Banner and News Items
NEWS IPC8			For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\*\*\*\*\* STN Columbus \*\*\*\*\*

FILE 'HOME' ENTERED AT 17:29:05 ON 03 MAR 2009

=> fil reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 17:29:15 ON 03 MAR 2009  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2009 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 MAR 2009 HIGHEST RN 1114593-79-1  
DICTIONARY FILE UPDATES: 2 MAR 2009 HIGHEST RN 1114593-79-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

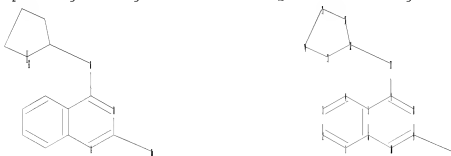
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>  
Uploading C:\Program Files\STNEXP\Queries\10552426genericclaim9.str



chain nodes :  
20  
ring nodes :  
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16  
ring/chain nodes :  
11  
chain bonds :

```

7-11  9-20  11-12
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10  12-13  12-16  13-14  14-15
15-16
exact/norm bonds :
7-11  9-20  11-12  12-13  12-16  13-14  14-15  15-16
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-10  7-8  8-9  9-10
isolated ring systems :
containing 1 :

```

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 20:Atom
Generic attributes :
20:
Saturation      : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : Exactly 1
Type of Ring System   : Monocyclic

```

```

Element Count :
Node 20: Limited
      N,N0
      C,C0

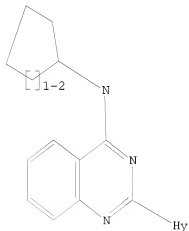
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L1        STRUCTURE UPLOADED

```

=> d l1
L1 HAS NO ANSWERS
L1                STR

```



Structure attributes must be viewed using STN Express query preparation.

```

=> s l1 sss sam
SAMPLE SEARCH INITIATED 17:29:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        2083 TO ITERATE

```

96.0% PROCESSED 2000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 38923 TO 44397  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

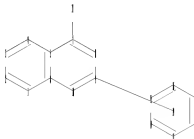
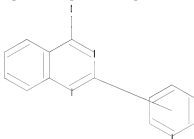
=> s l1 sss full  
FULL SEARCH INITIATED 17:29:45 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 42477 TO ITERATE

100.0% PROCESSED 42477 ITERATIONS  
SEARCH TIME: 00.00.01

0 ANSWERS

L3 0 SEA SSS FUL L1

=>  
Uploading C:\Program Files\STNEXP\Queries\10552426narrower.str



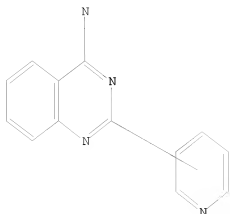
ring nodes :  
1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18  
ring/chain nodes :  
11  
chain bonds :  
7-11  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16  
16-17 17-18  
exact/norm bonds :  
7-11  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16  
16-17 17-18  
isolated ring systems :  
containing 1 : 13 :

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS  
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l4 sss sam

SAMPLE SEARCH INITIATED 17:32:40 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2256 TO ITERATE

88.7% PROCESSED 2000 ITERATIONS

38 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 42271 TO 47969

PROJECTED ANSWERS: 465 TO 1249

L5 38 SEA SSS SAM L4

=> s l4 sss full

FULL SEARCH INITIATED 17:32:47 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 45297 TO ITERATE

100.0% PROCESSED 45297 ITERATIONS

1075 ANSWERS

SEARCH TIME: 00.00.02

L6 1075 SEA SSS FUL L4

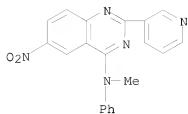
=> d scan

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 4-Quinazolinamine, N-methyl-6-nitro-N-phenyl-2-(3-pyridinyl)-

MF C20 H15 N5 O2

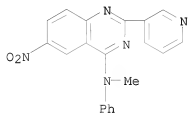
CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

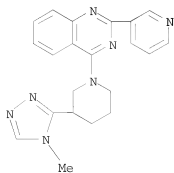
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):100

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-methyl-6-nitro-N-phenyl-2-(3-pyridinyl)-,  
 hydrochloride (1:2)  
 MF C20 H15 N5 O2 . 2 Cl H



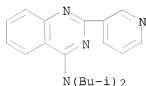
● 2 HCl

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-[3-(4-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl]-2-(3-  
 pyridinyl)-  
 MF C21 H21 N7



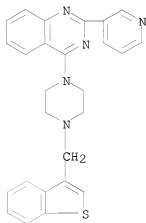
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N,N-bis(2-methylpropyl)-2-(3-pyridinyl)-, hydrochloride  
 (1:1)  
 MF C21 H26 N4 . Cl H



● HCl

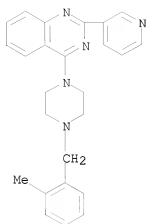
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-[4-(benzo[b]thien-3-ylmethyl)-1-piperazinyl]-2-(3-  
 pyridinyl)-  
 MF C26 H23 N5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-[4-[(2-methylphenyl)methyl]-1-piperazinyl]-2-(3-pyridinyl)-  
 , ethanedioate (1:1)  
 MF C25 H25 N5 . C2 H2 O4

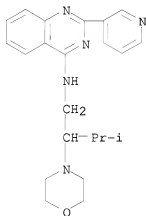
CM 1



CM 2



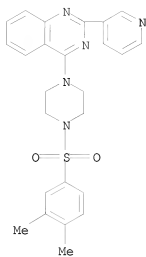
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-[3-methyl-2-(4-morpholinyl)butyl]-2-(3-pyridinyl)-  
 MF C22 H27 N5 O



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

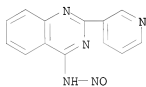
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-[4-[(3,4-dimethylphenyl)sulfonyl]-1-piperazinyl]-2-(3-pyridinyl)-  
 MF C25 H25 N5 O2 S





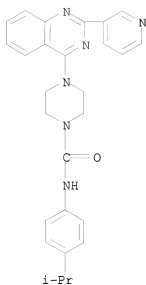
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-nitroso-2-(3-pyridinyl)-, sodium salt (1:1)  
 MF C13 H9 N5 O . Na



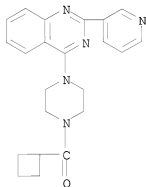
● Na

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 1-Piperazinecarboxamide, N-[4-(1-methylethyl)phenyl]-4-[2-(3-pyridinyl)-4-quinazolinyl]-  
 MF C27 H28 N6 O



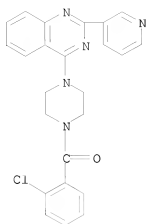
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Methanone, cyclobutyl[4-[2-(3-pyridinyl)-4-quinazolinyl]-1-piperazinyl]-  
 MF C22 H23 N5 O



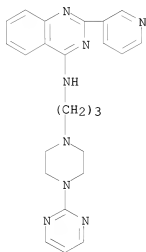
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Methanone, (2-chlorophenyl)[4-[2-(3-pyridinyl)-4-quinazolinyl]-1-  
 piperazinyl]-  
 MF C24 H20 Cl N5 O



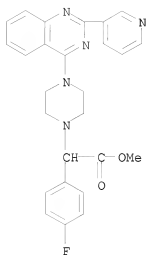
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[3-[4-(2-pyrimidinyl)-1-  
 piperazinyl]propyl]-  
 MF C24 H26 N8



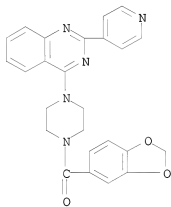
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 1-Piperazineacetic acid,  $\alpha$ -(4-fluorophenyl)-4-[2-(3-pyridinyl)-4-  
 quinazolinyl]-, methyl ester  
 MF C26 H24 F N5 O2



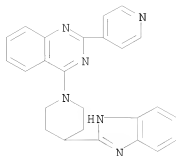
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Methanone, 1,3-benzodioxol-5-yl[4-(2-(4-pyridinyl)-4-quinazolinyl)-1-  
piperazinyl]-  
MF C25 H21 N5 O3



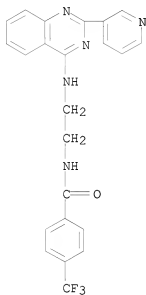
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Quinazoline, 4-[4-(1H-benzimidazol-2-yl)-1-piperidinyl]-2-(4-pyridinyl)-  
MF C25 H22 N6



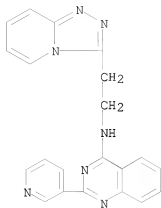
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Benzamide, N-[2-[[2-(3-pyridinyl)-4-quinazolinyl]amino]ethyl]-4-  
 (trifluoromethyl)-  
 MF C23 H18 F3 N5 O



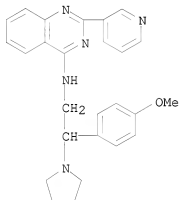
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[2-(1,2,4-triazolo[4,3-a]pyridin-3-  
 yl)ethyl]-  
 MF C21 H17 N7



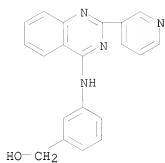
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-[2-(4-methoxyphenyl)-2-(1-pyrrolidinyl)ethyl]-2-(3-  
 pyridinyl)-  
 MF C26 H27 N5 O



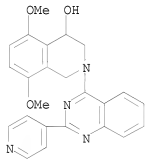
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Benzenemethanol, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-  
 MF C20 H16 N4 O



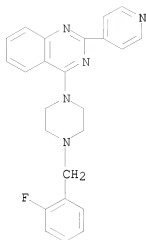
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Isoquinolinol, 1,2,3,4-tetrahydro-5,8-dimethoxy-2-[2-(4-pyridinyl)-4-quinazolinyl]-  
 MF C24 H22 N4 O3



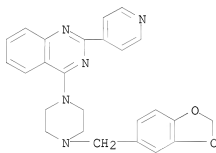
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-[4-[(2-fluorophenyl)methyl]-1-piperazinyl]-2-(4-pyridinyl)-  
 MF C24 H22 F N5



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-(4-  
 pyridinyl)-  
 MF C25 H23 N5 O2

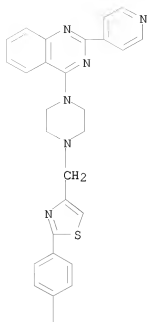


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-[4-[[2-(4-methoxyphenyl)-4-thiazolyl]methyl]-1-piperazinyl]-  
 2-(4-pyridinyl)-  
 MF C28 H26 N6 O S



PAGE 1-A

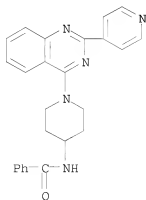


PAGE 2-A



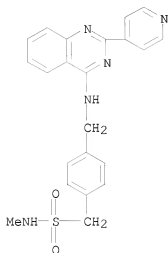
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Benzamide, N-[1-[2-(4-pyridinyl)-4-quinazolinyl]-4-piperidinyl]-  
 MF C25 H23 N5 O



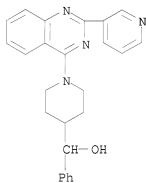
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Benzenemethanesulfonamide, N-methyl-4-[[2-(4-pyridinyl)-4-quinazolinyl]amino]methyl]-  
 MF C22 H21 N5 O2 S



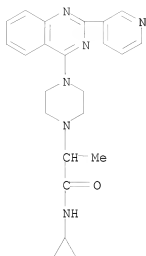
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Piperidinemethanol,  $\alpha$ -phenyl-1-[2-(3-pyridinyl)-4-quinazolinyl]-  
 MF C25 H24 N4 O



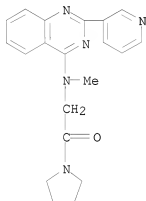
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 1-Piperazineacetamide, N-cyclopropyl- $\alpha$ -methyl-4-[2-(3-pyridinyl)-4-quinazolinyl]-  
 MF C23 H26 N6 O



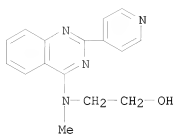
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Ethanone, 2-[methyl[2-(3-pyridinyl)-4-quinazolinyl]amino]-1-(1-pyrrolidinyl)-  
 MF C20 H21 N5 O



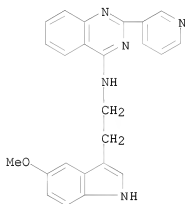
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Ethanol, 2-[methyl[2-(4-pyridinyl)-4-quinazolinyl]amino]-  
 MF C16 H16 N4 O



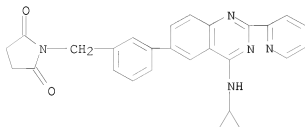
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-[2-(5-methoxy-1H-indol-3-yl)ethyl]-2-(3-pyridinyl)-  
 MF C24 H21 N5 O



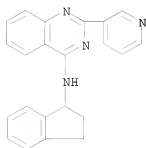
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 2,5-Pyrrolidinedione, 1-[[3-[4-(cyclopropylamino)-2-(2-pyridinyl)-6-  
 quinazolinyl]phenyl]methyl]-  
 MF C27 H23 N5 O2



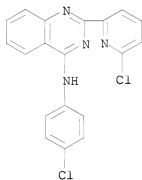
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN 4-Quinazolinamine, N-(2,3-dihydro-1H-inden-1-yl)-2-(3-pyridinyl)-  
MF C22 H18 N4



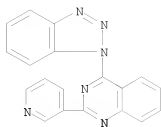
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN 4-Quinazolinamine, N-(4-chlorophenyl)-2-(6-chloro-2-pyridinyl)-  
MF C19 H12 Cl2 N4



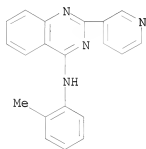
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Quinazoline, 4-(1H-benzotriazol-1-yl)-2-(3-pyridinyl)-  
MF C19 H12 N6



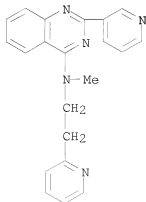
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-(2-methylphenyl)-2-(3-pyridinyl)-  
 MF C20 H16 N4  
 CI COM



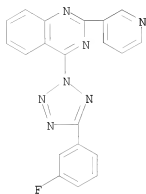
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-methyl-2-(3-pyridinyl)-N-[2-(2-pyridinyl)ethyl]-  
 MF C21 H19 N5



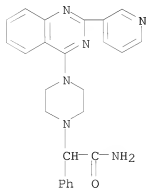
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN Quinazoline, 4-[5-(3-fluorophenyl)-2H-tetrazol-2-yl]-2-(3-pyridinyl)-  
MF C20 H12 F N7



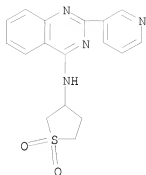
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN 1-Piperazineacetamide,  $\alpha$ -phenyl-4-[2-(3-pyridinyl)-4-quinazolinyl]-  
MF C25 H24 N6 O



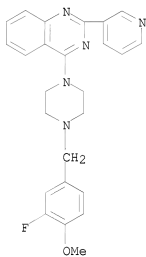
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(tetrahydro-1,1-dioxido-3-thienyl)-  
MF C17 H16 N4 O2 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

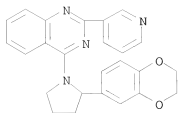
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-[4-[(3-fluoro-4-methoxyphenyl)methyl]-1-piperazinyl]-2-(3-  
 pyridinyl)-  
 MF C25 H24 F N5 O



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

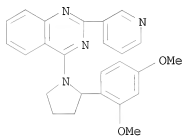
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-[2-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-pyrrolidinyl]-2-(3-  
 pyridinyl)-  
 MF C25 H22 N4 O2





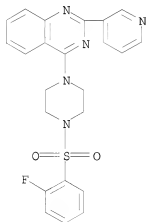
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-[2-(2,4-dimethoxyphenyl)-1-pyrrolidinyl]-2-(3-pyridinyl)-  
 MF C25 H24 N4 O2



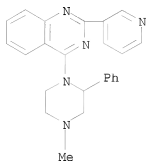
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-[4-[(2-fluorophenyl)sulfonyl]-1-piperazinyl]-2-(3-pyridinyl)-  
 MF C23 H20 F N5 O2 S



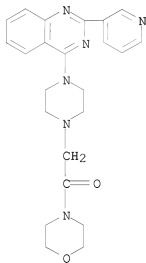
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-(4-methyl-2-phenyl-1-piperazinyl)-2-(3-pyridinyl)-  
 MF C24 H23 N5



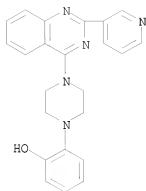
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Ethanone, 1-(4-morpholinyl)-2-[4-[2-(3-pyridinyl)-4-quinazolinyl]-1-piperazinyl]-  
 MF C23 H26 N6 O2



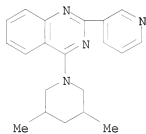
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Phenol, 2-[4-[2-(3-pyridinyl)-4-quinazolinyl]-1-piperazinyl]-  
 MF C23 H21 N5 O



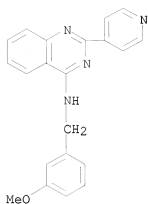
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-((3,5-dimethyl-1-piperidinyl)-2-(3-pyridinyl)-  
 MF C20 H22 N4



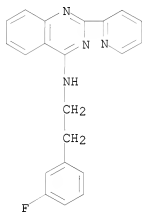
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-[(3-methoxyphenyl)methyl]-2-(4-pyridinyl)-  
 MF C20 H18 N4 O



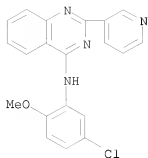
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-[2-(3-fluorophenyl)ethyl]-2-(2-pyridinyl)-  
 MF C21 H17 F N4



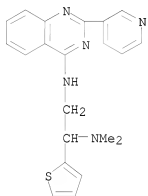
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-(5-chloro-2-methoxyphenyl)-2-(3-pyridinyl)-  
 MF C20 H15 Cl N4 O  
 CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

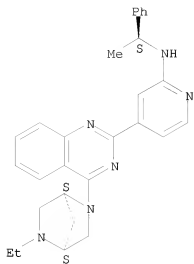
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 1,2-Ethanediamine, N1,N1-dimethyl-N2-[2-(3-pyridinyl)-4-quinazolinyl]-1-(2-thienyl)-  
 MF C21 H21 N5 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

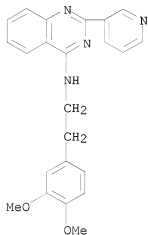
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 2-Pyridinamine, 4-[4-[(1S,4S)-5-ethyl-2,5-diazabicyclo[2.2.1]hept-2-yl]-2-quinazolinyl]-N-[(1S)-1-phenylethyl]-  
 MF C28 H30 N6

Absolute stereochemistry.



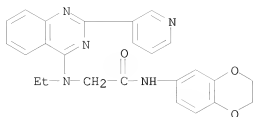
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-[2-(3,4-dimethoxyphenyl)ethyl]-2-(3-pyridinyl)-  
 MF C23 H22 N4 O2



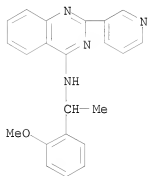
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Acetamide, N-(2,3-dihydro-1,4-benzodioxin-6-yl)-2-[ethyl[2-(3-pyridinyl)-4-  
 quinazolinyl]amino]-  
 MF C25 H23 N5 O3



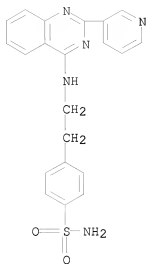
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-[1-(2-methoxyphenyl)ethyl]-2-(3-pyridinyl)-  
 MF C22 H20 N4 O



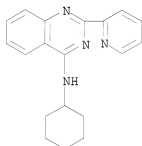
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Benzenesulfonamide, 4-[2-[[2-(3-pyridinyl)-4-quinazolinyl]amino]ethyl]-  
 MF C21 H19 N5 O2 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

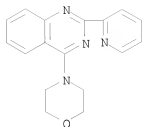
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-cyclohexyl-2-(2-pyridinyl)-  
 MF C19 H20 N4



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

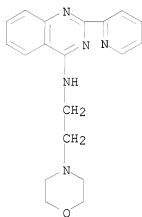
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-(4-morpholinyl)-2-(2-pyridinyl)-  
 MF C17 H16 N4 O





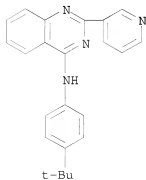
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-[2-(4-morpholinyl)ethyl]-2-(2-pyridinyl)-  
 MF C19 H21 N5 O



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

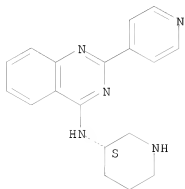
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-[4-(1,1-dimethylethyl)phenyl]-2-(3-pyridinyl)-  
 MF C23 H22 N4



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN 4-Quinazolinamine, N-(3S)-3-piperidinyl-2-(4-pyridinyl)-  
MF C18 H19 N5

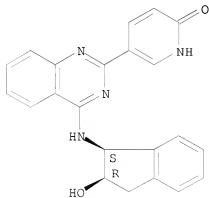
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN 2(1H)-Pyridinone, 5-[4-[(1S,2R)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]amino]-2-quinazolinyl]-  
MF C22 H18 N4 O2

Absolute stereochemistry.

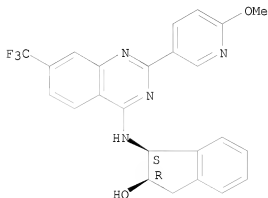


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(6-methoxy-3-pyridinyl)]-7-

(trifluoromethyl)-4-quinazolinyl]amino]-, (1S,2R)-  
MF C24 H19 F3 N4 O2

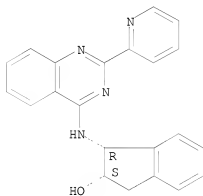
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

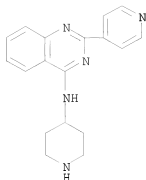
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(2-pyridinyl)-4-quinazolinyl]amino]-,  
(1R,2S)-  
MF C22 H18 N4 O

Absolute stereochemistry.



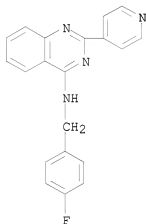
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
IN 4-Quinazolinamine, N-4-piperidinyl-2-(4-pyridinyl)-  
MF C18 H19 N5



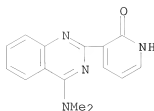
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-[(4-fluorophenyl)methyl]-2-(4-pyridinyl)-  
 MF C20 H15 F N4



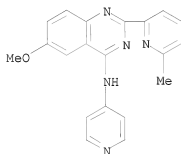
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 2(1H)-Pyridinone, 3-[4-(dimethylamino)-2-quinazolinyl]-  
 MF C15 H14 N4 O



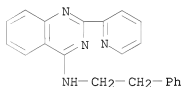
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, 6-methoxy-2-(6-methyl-2-pyridinyl)-N-4-pyridinyl-  
 MF C20 H17 N5 O



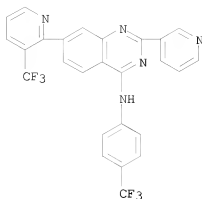
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-(2-phenylethyl)-2-(2-pyridinyl)-  
 MF C21 H18 N4



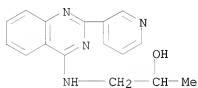
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]-  
 MF C26 H15 F6 N5



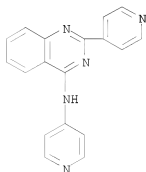
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 2-Propanol, 1-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-  
 MF C16 H16 N4 O



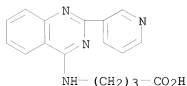
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N,2-di-4-pyridinyl-  
 MF C18 H13 N5



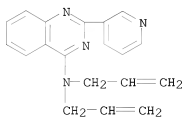
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Butanoic acid, 4-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-  
 MF C17 H16 N4 O2



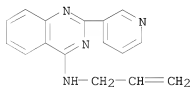
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N,N-di-2-propen-1-yl-2-(3-pyridinyl)-  
 MF C19 H18 N4



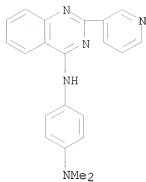
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-2-propen-1-yl-2-(3-pyridinyl)-  
 MF C16 H14 N4



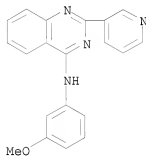
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 1,4-Benzenediamine, N1,N1-dimethyl-N4-[2-(3-pyridinyl)-4-quinazolinyl]-  
 MF C21 H19 N5



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

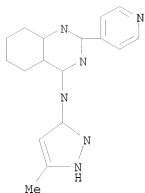
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-(3-methoxyphenyl)-2-(3-pyridinyl)-  
 MF C20 H16 N4 O



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

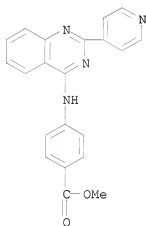
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-  
 MF C17 H14 N6  
 CI COM





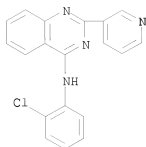
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Benzoic acid, 4-[[2-(4-pyridinyl)-4-quinazolinyl]amino]-, methyl ester  
 MF C21 H16 N4 O2



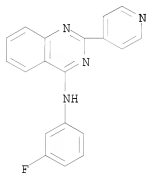
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-(2-chlorophenyl)-2-(3-pyridinyl)-  
 MF C19 H13 Cl N4



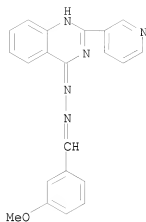
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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 MF C19 H13 F N4



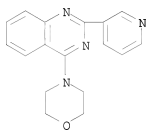
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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 IN Benzaldehyde, 3-methoxy-, 2-[2-(3-pyridinyl)-4-quinazolinyl]hydrazone  
 MF C21 H17 N5 O



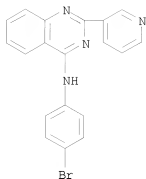
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L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-(4-morpholinyl)-2-(3-pyridinyl)-  
 MF C17 H16 N4 O



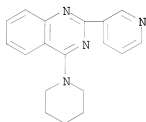
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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 IN 4-Quinazolinamine, N-(4-bromophenyl)-2-(3-pyridinyl)-  
 MF C19 H13 Br N4



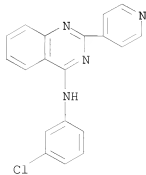
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN Quinazoline, 4-(1-piperidinyl)-2-(3-pyridinyl)-  
 MF C18 H18 N4



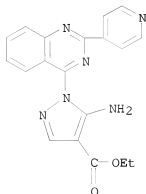
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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 MF C19 H13 Cl N4



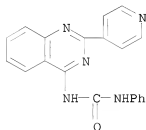
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MF C19 H16 N6 O2



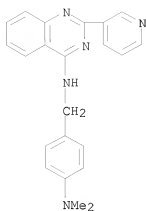
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MF C20 H15 N5 O



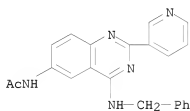
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
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MF C22 H21 N5  
CI COM



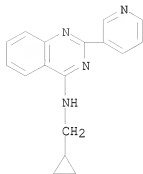
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 MF C22 H19 N5 O



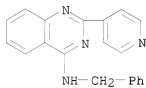
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L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
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 (1:2)  
 MF C17 H16 N4 . 2 Cl H



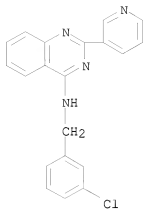
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L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
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 MF C20 H16 N4  
 CI COM



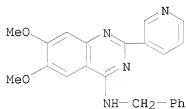
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 MF C20 H15 Cl N4  
 CI COM



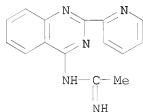
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-  
 MF C22 H20 N4 O2  
 CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

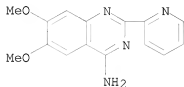
L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
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 MF C15 H13 N5



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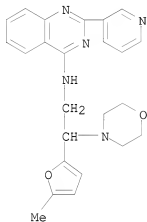


L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridinyl)-, hydrochloride (1:1)  
 MF C15 H14 N4 O2 . Cl H



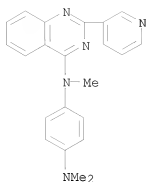
● HCl

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-[2-(5-methyl-2-furanyl)-2-(4-morpholinyl)ethyl]-2-(3-pyridinyl)-  
 MF C24 H25 N5 O2



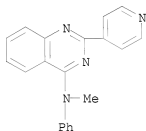
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
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 MF C22 H21 N5  
 CI COM



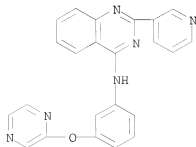
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-methyl-N-phenyl-2-(4-pyridinyl)-, hydrochloride (1:2)  
 MF C20 H16 N4 . 2 Cl H



● 2 HCl

L6 1075 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, N-[3-(2-pyrazinyloxy)phenyl]-2-(3-pyridinyl)-  
 MF C23 H16 N6 O



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

376.08

376.30

FILE 'CAPLUS' ENTERED AT 17:35:50 ON 03 MAR 2009

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FILE COVERS 1907 - 3 Mar 2009 VOL 150 ISS 10

FILE LAST UPDATED: 2 Mar 2009 (20090302/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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L4 STRUCTURE UPLOADED

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L6 1075 S L4 SSS FULL

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64 L6

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L7 30 L6 AND (PRY<2003)

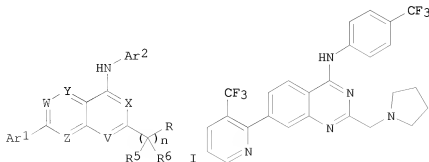
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L7 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:534191 CAPLUS  
 DOCUMENT NUMBER: 141:89100  
 TITLE: Preparation of (quinazolin-4-yl)amines as capsaicin receptor modulators  
 INVENTOR(S): Bakthavatchalam, Rajagopal; Blum, Charles A.; Brielmann, Harry; Caldwell, Timothy M.; De Lombaert, Stephane; Hodgetts, Kevin J.; Zheng, Xiaozhang  
 PATENT ASSIGNEE(S): Neurogen Corporation, USA  
 SOURCE: PCT Int. Appl., 226 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055003	A1	20040701	WO 2003-US39606	20031212 <--
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TG, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003296984	A1	20040709	AU 2003-296984	20031212 <--
US 20040156869	A1	20040812	US 2003-735607	20031212 <--
EP 1569925	A1	20050907	EP 2003-813410	20031212 <--
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BR 2003017168	A	20051101	BR 2003-17168	20031212 <--
CN 1726205	A	20060125	CN 2003-80105815	20031212 <--
JP 2006515846	T	20060608	JP 2004-560827	20031212 <--
MX 2005006123	A	20050930	MX 2005-6123	20050608 <--
PRIORITY APPLN. INFO.:			US 2002-433139P	P 20021213 <--
			WO 2003-US39606	W 20031212

OTHER SOURCE(S): MARPAT 141:89100  
 GI



AB Title compds. I [wherein V, W, X, Y, and Z = independently N, CR1, with the proviso that at least one of V and X = N; R = OR7, NR3R4; R1 =

independently H, halo, OH, CN, NH<sub>2</sub>, (halo)alkyl, (halo)alkoxy, alkoxycarbonyl, (di)alkylamino; R<sub>3</sub> and R<sub>4</sub> = independently H, (un)substituted (aryl)alkyl, alkenyl, alkynyl, alkanoyl, etc.; or R<sub>3</sub> or R<sub>4</sub> taken together with R<sub>5</sub> or R<sub>6</sub> forms an (un)substituted heterocycle; or NR<sub>3</sub>R<sub>4</sub> = heterocyclyl; R<sub>5</sub> and R<sub>6</sub> = independently H, (un)substituted alkyl; or CR<sub>5</sub>R<sub>6</sub> = CO; R<sub>7</sub> = H, (aryl)alkyl, alkenyl, alkynyl, alkanoyl, etc.; or R<sub>7</sub> taken together with R<sub>5</sub> or R<sub>6</sub> forms an (un)substituted heterocycle; n = 1-3; Ar<sub>1</sub> and Ar<sub>2</sub> = independently (un)substituted aryl, heterocyclyl; and pharmaceutically acceptable forms thereof] were prepared as modulators of capsaicin receptors, especially the vanilloid receptor 1 (VR1). For example, a solution of [2-(chloromethyl)-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl][4-(trifluoromethylphenyl)amine]•HCl and pyrrolidine was heated to 100° for 1 h to give II. In competition binding assays, invention compds. exhibited K<sub>i</sub> ≤ 1 μM for VR1 expressed in human embryonic kidney (HEK293) cells. Thus, I and their pharmaceutical compns. are useful for treating disorders associated with pathol. receptor activation, such as pain, in humans, domesticated companion animals, and livestock animals (no data).

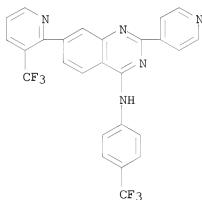
IT 573686-39-2P 573686-40-5P 573686-41-6P  
573686-42-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(VR1 inhibitor; preparation of (quinazolin-4-yl)amines as VR1 inhibitors for treatment of pain and other VR1-mediated conditions)

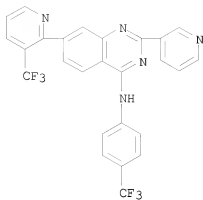
RN 573686-39-2 CAPLUS

CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



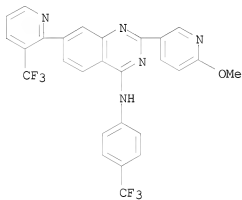
RN 573686-40-5 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



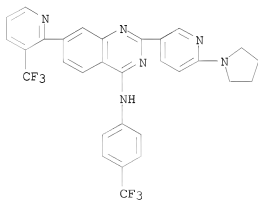
RN 573686-41-6 CAPLUS

CN 4-Quinazolinamine, 2-(6-methoxy-3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-42-7 CAPLUS

CN 4-Quinazolinamine, 2-[6-(1-pyrrolidinyl)-3-pyridinyl]-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



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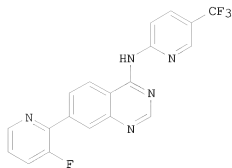
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THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

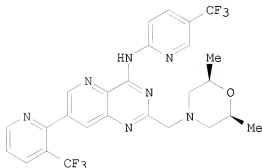
L7 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2004:531361 CAPLUS  
 DOCUMENT NUMBER: 141:76702  
 TITLE: Combination therapy comprising a heteroarylamine VR1 antagonist and a narcotic analgesic for the treatment of pain with reduced addictive side effects  
 INVENTOR(S): Herzberg, Uri; Cortright, Daniel; Hurtt, Mark M.; Krause, James E.  
 PATENT ASSIGNEE(S): Neurogen Corporation, USA  
 SOURCE: PCT Int. Appl., 182 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054582	A1	20040701	WO 2003-US37209	20031119 <--
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AU 2003300791	A1	20040709	AU 2003-300791	20031119 <--
US 20040142958	A1	20040722	US 2003-718034	20031119 <--
EP 1581225	A1	20051005	EP 2003-813341	20031119 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
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PRIORITY APPLN. INFO.:			US 2002-433363P	P 20021213 <--
			WO 2003-US37209	W 20031119

GI



I



II

AB The invention relates to compns. comprising a nontoxic vanilloid receptor 1 (VR1) antagonist, optionally in combination with an addictive therapeutic agent, for the treatment of pain. Compns. and methods are further provided for inhibiting the development of tolerance to addictive therapeutic agents (especially narcotic analgesics) in patients treated with such agents, for minimizing adverse effects (e.g., dependence) resulting from treatment with such addictive agents, and for enhancing pain relief resulting from narcotic analgesic administration. Patients may be treated with a VR1 antagonist before, during, or after administration of the addictive therapeutic agent to prevent, decrease the severity of, delay, or treat tolerance and/or other adverse effects of the addictive agent in the patient. Examples include synthetic methods and limited data for the preparation of representation heteroarylamine VR1 antagonists, as well as capsaicin receptor binding assays and numerous pain model assays. For instance, coupling of 7-bromo-4-chloroquinazoline with 2-amino-5-trifluoromethylpyridine, followed by addition of 3-fluoro-2-tributylstannylpyridine provided I. In a bioassay testing the inhibition of tolerance to morphine, rats receiving morphine plus II exhibited statistically significantly higher withdrawal thresholds than any other treatment group, indicating that the VR1 antagonist prevents tolerance to repeated morphine dosing.

IT 573686-39-2 573686-40-5 573686-41-6  
573686-42-7

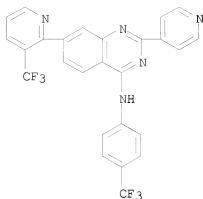
RL: PRPH (Prophetic)

(Combination therapy comprising a heteroarylamine VR1 antagonist and a narcotic analgesic for the treatment of pain with reduced addictive side effects)

RN 573686-39-2 CAPLUS

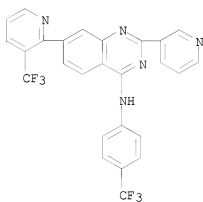
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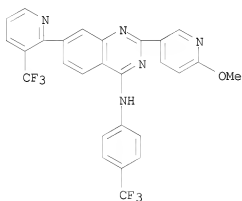
RN 573686-40-5 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



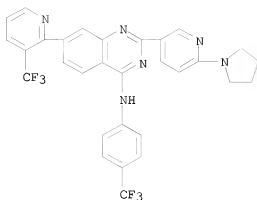
RN 573686-41-6 CAPLUS

CN 4-Quinazolinamine, 2-(6-methoxy-3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-42-7 CAPLUS

CN 4-Quinazolinamine, 2-[6-(1-pyrrolidinyl)-3-pyridinyl]-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

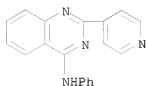
L7 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2003:931342 CAPLUS  
 DOCUMENT NUMBER: 140:791  
 TITLE: Treatment of fibroproliferative disorders using TGF- $\beta$  inhibitors  
 INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundee; Higgins, Linda S.; Kapoun, Ann M.; Liu, David Y.; Schreiner, George F.; Protter, Andrew A.; Tran, Thomas-Toan  
 PATENT ASSIGNEE(S): Scios, Inc., USA  
 SOURCE: PCT Int. Appl., 114 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097615	A1	20031127	WO 2003-US15514	20030516 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003229305	A1	20031202	AU 2003-229305	20030516 <--
US 20040038856	A1	20040226	US 2003-440428	20030516 <--
EP 1511738	A1	20050309	EP 2003-726892	20030516 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2002-381720P	P 20020517 <--
			US 2003-440428	A 20030516
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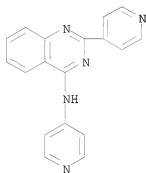
OTHER SOURCE(S): MARPAT 140:791  
 AB The invention concerns methods of treating fibroproliferative disorders associated with TGF- $\beta$  signaling, by administering non-peptide small mol. inhibitors of TGF- $\beta$  specifically binding to the type I TGF- $\beta$

receptor (TGF $\beta$ -R1). Preferably, the inhibitors are quinazoline derivs. The invention also concerns methods for reversing the effect of TGF- $\beta$  mediated cell activation on the expression of a gene associated with fibrosis, comprising contacting a cell or tissue in which the expression of such gene is altered as a result of TGF- $\beta$  mediated cell activation, with a non-peptide small mol. inhibitor of TGF- $\beta$ , specifically binding a TGF $\beta$ -R1 receptor kinase present in the cell or tissue.

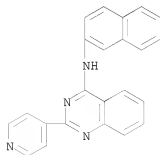
IT 157862-99-2 474289-44-6 627535-99-3  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (treatment of fibroproliferative disorders using TGF- $\beta$  inhibitors)  
 RN 157862-99-2 CAPLUS  
 CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 474289-44-6 CAPLUS  
 CN 4-Quinazolinamine, N,2-di-4-pyridinyl- (CA INDEX NAME)



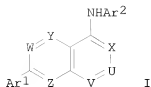
RN 627535-99-3 CAPLUS  
 CN 4-Quinazolinamine, N-2-naphthalenyl-2-(4-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2003:591156 CAPLUS  
 DOCUMENT NUMBER: 139:149640  
 TITLE: Preparation of substituted quinazolin-4-ylamine  
 analogs as VRL capsacin receptor antagonists for  
 relieving pain  
 INVENTOR(S): Bakthavatchatam, Rajagopal; Blum, Charles A.;  
 Brielmann, Harry L.; Caldwell, Timothy M.; De  
 Lombaert, Stephane  
 PATENT ASSIGNEE(S): Neurogen Corporation, USA  
 SOURCE: PCT Int. Appl., 294 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062209	A2	20030731	WO 2003-US1563	20030117 <--
WO 2003062209	A3	20030904		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473796	A1	20030731	CA 2003-2473796	20030117 <--
BR 2003006982	A	20041026	BR 2003-6982	20030117 <--
EP 1471910	A2	20041103	EP 2003-703887	20030117 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1627944	A	20050615	CN 2003-802452	20030117 <--
HU 2005000200	A2	20050728	HU 2005-200	20030117 <--
JP 2005526714	T	20050908	JP 2003-562090	20030117 <--
US 20040106616	A1	20040603	US 2003-347210	20030121 <--
US 7074799	B2	20060711		
IN 2004DN01958	A	20050401	IN 2004-DN1958	20040708 <--
MX 2004006882	A	20041206	MX 2004-6882	20040715 <--
ZA 2004005641	A	20050715	ZA 2004-5641	20040715 <--
NO 2004003411	A	20040924	NO 2004-3411	20040816 <--
US 20060173003	A1	20060803	US 2006-345926	20060201 <--
US 7304059	B2	20071204		
US 20080015183	A1	20080117	US 2007-864987	20070929 <--
PRIORITY APPLN. INFO.:			US 2002-349920P	P 20020117 <--
			US 2002-350527P	P 20020122 <--
			WO 2003-US1563	W 20030117
			US 2003-347210	A3 20030121
			US 2006-345926	A3 20060201
OTHER SOURCE(S):		MARPAT 139:149640		
GI				



AB Substituted quinazolin-4-ylamine analogs (shown as I; variables defined below; e.g. (4-trifluoromethylphenyl)[7-(2-trifluoromethylphenyl)quinazolin-4-yl]amine) are provided. Such compds. are ligands that may be used to modulate VR1 capsaicin receptor activity in vivo or in vitro (no data), and are particularly useful in the treatment of conditions associated with pathol. receptor activation in humans, domesticated companion animals and livestock animals. Pharmaceutical compns. and methods for using them to treat such disorders are provided, as are methods for using such ligands for receptor localization studies. For I; V, X, W, Y and Z are each independently N or CR1, with the proviso that at least one of V and X is N; U is N or CR2, with the proviso that if V and X are N, then U is CR2; R1 = H, halogen, hydroxy, amino, C1-C8 alkyl, haloC1-C8alkyl, C1-C8alkoxy, haloC1-C8alkoxy and mono- and di(C1-C8alkyl)amino. R2 = (i) H, halogen, cyano, or -COOH; (ii) C1-C8alkanoyl, C2-C8alkanone, or C1-C8carbamate, each of which is (un)substituted with 1-9 substituents = Rb, or (iii) -Rc-M-A-Ry, wherein: Rc is C0-C3alkyl; M is a bond, N(Rz), O, S, SO2, (C:O)pN(Rz), N(Rz)(C:O)p, SO2N(Rz), or N(Rz)SO2, wherein p is 0 or 1; A is a bond or C1-C8alkyl, (un)substituted with 1-3 Rb. Ry and Rz, if present, are: (a) independently H, C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C6-C10arylC1-C8alkyl, C2-C8alkyl ether, C1-C8alkoxy, a 4- to 10-membered carbocycle or heterocycle, or joined to R1 to form a 4- to 10-membered carbocycle or heterocycle, wherein each Ry and Rz = (un)substituted with 1-9 Rb; or (b) joined to form a 4- to 10-membered carbocycle or heterocycle that is (un)substituted with 1-9 Rb; Ar2 is a 5- to 7-membered aromatic heterocycle, (un)substituted with 1-3 LRA. Ar1 is a 5- to 10-membered aromatic carbocycle or heterocycle, (un)substituted with 1-3 LRA; L = bond, -O-, -C(O)-, -OC(O)-, -C(O)O-, -O-C(O)O-, -S(O)m-, -NRx-, -C(O)NHRx-, -NHRxC(O)-, -NRxS(O)m-, -S(O)mNRx- and -N[S(O)mRx]S(O)m-; wherein m = 0, 1 and 2; and Rx = H and C1-C8alkyl; Ra = (i) H, halogen, cyano and nitro; and (ii) C1-C8alkyl, C2-C8alkenyl, C2-C8alkynyl, C2-C8alkyl ether, 3- to 10-membered heterocycles, mono- and di(C1-C8alkyl)amino and (3- to 10-membered heterocycle)C1-C6 alkyl, each of which is (un)substituted with 1-9 Rb. Rb = hydroxy, halogen, amino, aminocarbonyl, amido, cyano, nitro, C1-C8alkyl, C1-C8alkoxy, C1-C8alkylthio, C1-C8alkyl ether, hydroxyC1-C8alkyl, haloC1-C8alkyl, Ph, phenyl(C1-C8alkyl), mono and di(C1-C6 alkyl)amino, (SO2)C1-C8alkyl, 5- to 7-membered heterocycle and (5- to 7-membered heterocycle)(C1-C8alkyl). Although the methods of preparation are not claimed, many example preps. and characterization data for >500 examples of I are included.

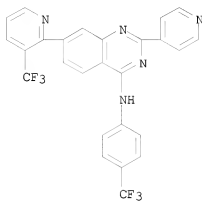
IT 573686-39-2P, [2-Pyridin-4-yl-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine 573686-40-5P, [2-Pyridin-3-yl-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine 573686-41-6P, [2-(6-Methoxypyridin-3-yl)-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine 573686-42-7P, [2-(6-(Pyrrolidin-1-yl)pyridin-3-yl)-7-(3-trifluoromethylpyridin-2-yl)quinazolin-4-yl](4-trifluoromethylphenyl)amine

RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate and receptor detector; preparation of substituted quinazolin-4-ylamine analogs as VR1 capsaicin receptor antagonists for relieving pain and for detecting receptors)

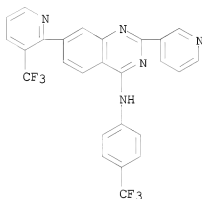
RN 573686-39-2 CAPLUS

CN 4-Quinazolinamine, 2-(4-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



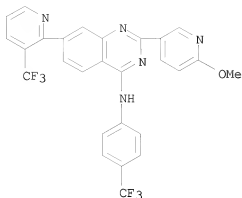
RN 573686-40-5 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)

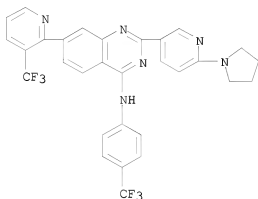


RN 573686-41-6 CAPLUS

CN 4-Quinazolinamine, 2-(6-methoxy-3-pyridinyl)-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 573686-42-7 CAPLUS  
 CN 4-Quinazolinamine, 2-[6-(1-pyrrolidinyl)-3-pyridinyl]-N-[4-(trifluoromethyl)phenyl]-7-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2003:472388 CAPLUS  
 DOCUMENT NUMBER: 139:53030  
 TITLE: Pyrimidine-based and quinazoline-based compounds useful as GSK-3 inhibitors  
 INVENTOR(S): Choquette, Deborah; Davies, Robert J.; Wannamaker, Marion W.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 102 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

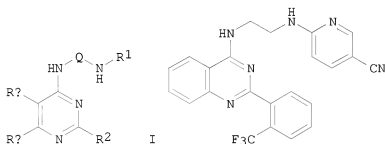
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049739	A1	20030619	WO 2002-US39190	20021209 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,  
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 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
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 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 CA 2469316 A1 20030619 CA 2002-2469316 20021209 <--  
 AU 2002364536 A1 20030623 AU 2002-364536 20021209 <--  
 AU 2002364536 B2 20081023  
 US 20030199526 A1 20031023 US 2002-314905 20021209 <--  
 EP 1474147 A1 20041110 EP 2002-799913 20021209 <--  
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 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 JP 2005516005 T 20050602 JP 2003-550788 20021209 <--  
 MX 2004005510 A 20060224 MX 2004-5510 20040607 <--  
 ZA 2004005380 A 20050617 ZA 2004-5380 20040706 <--  
 PRIORITY APPLN. INFO.: P 20011207 <--  
 WO 2002-US39190 W 20021209 <--

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 139:53030

GI



AB The invention provides a compound of formula I or a pharmaceutically acceptable derivative thereof [wherein: R<sup>1</sup> = (un)substituted 5- to 6-membered monocyclic or 8- to 10-membered bicyclic (hetero)aryl with 0-4 N/O/S atom(s); Q = (un)substituted C1-4 alkylene chain with 0-2 non-adjacent CH<sub>2</sub> optionally replaced by SO<sub>2</sub> or CO; R<sub>2</sub> = certain (un)substituted Ph, thienyl, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; R<sub>a</sub>, R<sub>b</sub> = -T-R<sub>3</sub>; or R<sub>a</sub>R<sub>b</sub> = atoms to complete fused, partially saturated or aromatic, 5- to 8-membered ring with 0-3 N/O/S atom(s)

and

optionally substituted by oxo, -T-R<sub>3</sub>, etc.; T = bond or C1-4 alkylene chain; R<sub>3</sub> = H, halo, OH or derivs., NH<sub>2</sub> or derivs., CN, SH or derivs., CHO or derivs., CO<sub>2</sub>H or derivs., etc.; including pharmaceutically acceptable derivs. and prodrugs]. The compds. are inhibitors of protein kinases, particularly GSK-3 (glycogen synthase kinase 3) mammalian protein kinases. The invention also provides pharmaceutically acceptable compds. comprising the compds. of the invention, and methods of utilizing the compds. and compns. in the treatment of various protein kinase-mediated disorders, such as diabetes, cancer, stroke, and Alzheimer's disease. A table of over 200 compds. I is given in claims. Preps. of 37 compds. are described in detail. For instance, 4-chloro-2-(2-(trifluoromethylphenyl)quinazoline was thermally condensed with 6-(2-aminoethylamino)nicotinonitrile (neat, approx. 140°) to give 49% title compound II. In a test for inhibition of GSK-3β in vitro, 17 compds. I, including II, had Ki < 0.1 μM, and 16 compds. had Ki of 0.1 to 1.0 μM.



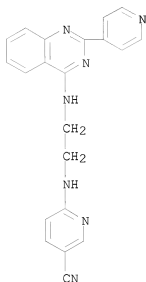
IT 544676-80-4P 544676-92-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrimidine-based compds. useful as GSK-3 inhibitors)

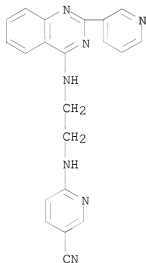
RN 544676-80-4 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[[2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]- (CA INDEX NAME)



RN 544676-92-8 CAPLUS

CN 3-Pyridinecarbonitrile, 6-[[2-[[2-(3-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]- (CA INDEX NAME)

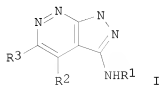


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2002:849586 CAPLUS  
 DOCUMENT NUMBER: 137:370099  
 TITLE: Preparation of 3-aminopyrazolo[3,4-c]pyridazines as inhibitors of glycogen synthase kinase-3 and crystal structures of gsk-3 $\beta$  protein and protein complexes  
 INVENTOR(S): Ter Haar, Ernst; Swenson, Lovorka; Green, Jeremy; Arnost, Michael J.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 778 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088078	A2	20021107	WO 2002-US13511	20020429 <--
WO 2002088078	A3	20040506		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2444882	A1	20021107	CA 2002-2444882	20020429 <--
AU 2002259071	A1	20021111	AU 2002-259071	20020429 <--
US 20030125332	A1	20030703	US 2002-135255	20020429 <--
US 7390808	B2	20080624		
EP 1435957	A2	20040714	EP 2002-729056	20020429 <--
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JP 2005504731	T	20050217	JP 2002-585380	20020429 <--
MX 2003009957	A	20050725	MX 2003-9957	20031030 <--
US 20080262205	A1	20081023	US 2008-79917	20080328 <--
PRIORITY APPLN. INFO.:			US 2001-287366P	P 20010430 <--
			US 2001-297094P	P 20010608 <--
			US 2002-361899P	P 20020227 <--
			US 2002-135255	A3 20020429 <--
			WO 2002-US13511	W 20020429 <--

OTHER SOURCE(S): MARPAT 137:370099  
 GI



AB Title compds. [I; R1 = H, RCO, RO2C, (substituted) aliphaticyl, carbocyclyl, heterocyclyl, heteroaryl, etc.; R2, R3 = H, (substituted) aliphaticyl, carbocyclyl, heterocyclyl, aryl, alkyl, heteroaryl, heteroalkyl, NR2, NRCOR, SR, OR, CF3, halo, NO2, cyano, etc.; R = H, (substituted)

alipharyl, carbocyclyl, heterocyclyl, aryl, aralkyl, heteroaryl, heteroaralkyl), were prepared. Thus, 3-chloro-4-cyano-5,6-diphenylpyridazine was refluxed with N<sub>2</sub>H<sub>4</sub> in EtOH to give 3-amino-4,5-diphenyl-1H-pyrazolo[3,4-c]pyridazine. The latter inhibited gsk-3 with K<sub>i</sub> ≤ 0.1 μM.

IT 474381-74-3P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (crystal structure determination; preparation of pyrazolopyridazines as inhibitors of gsk-3 and crystal structures of gsk-3β protein and protein complexes)

RN 474381-74-3 CAPLUS

CN Kinase (phosphorylating), glycogen synthetase (human isoenzyme 3β), compd. with N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-4-quinazolinamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 474231-10-2

CMF Unspecified

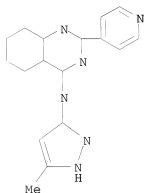
CCI MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 404828-10-0

CMF C17 H14 N6



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:845560 CAPLUS

DOCUMENT NUMBER: 137:353051

TITLE: Preparation of quinazolines as TGF-β and/or

p38-α kinase inhibitors

INVENTOR(S): Chakravarty, Sarvajit; Dugar, Sundeep; Perumattam, John J.; Schreiner, George F.; Liu, David Y.; Lewicki, John A.

PATENT ASSIGNEE(S): Scios, Inc., USA

SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 6,184,226.

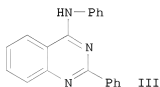
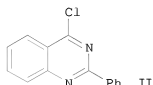
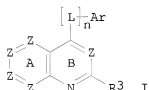
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6476031	B1	20021105	US 1999-383825	19990827 <--
US 6184226	B1	20010206	US 1998-141916	19980828
CN 1152867	C	20040609	CN 1999-811659	19990827 <--
AT 342256	T	20061115	AT 1999-949568	19990827 <--
ES 2274642	T3	20070516	ES 1999-949568	19990827 <--
US 6277989	B1	20010821	US 2000-525034	20000314 <--
US 20030069248	A1	20030410	US 2001-969936	20011002 <--
US 20020161010	A1	20021031	US 2001-972582	20011005 <--
US 6903096	B2	20050607		
US 20050171123	A1	20050804	US 2005-53121	20050207 <--
US 7345045	B2	20080318		
US 20050220784	A1	20051006	US 2005-136242	20050523 <--
PRIORITY APPLN. INFO.:			US 1998-141916	A2 19980828 <--
			US 1999-383825	A3 19990827 <--
			US 2001-969936	B1 20011002 <--
			US 2001-972582	A3 20011005 <--

OTHER SOURCE(S): MARPAT 137:353051  
 GI



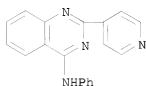
AB Title compds. I [R<sup>3</sup> = (un)substituted aromatic; Ar = (un)substituted monocyclic or polycyclic aromatic; L = S(CR<sub>22</sub>)<sub>m</sub>, NR<sub>1</sub>SO<sub>2</sub>(CR<sub>22</sub>)<sub>l</sub>, SO<sub>2</sub>(CR<sub>22</sub>)<sub>m</sub>, etc.; Z = CR<sub>2</sub>, N with the provisos that no more than two Z positions in ring A are N and wherein two adjacent Z positions in ring A cannot be N; R<sub>2</sub> = H, alkyl, alkenyl, etc.; l = 0-3; m = 0-4; n = 1] and their pharmaceutically acceptable salts were prepared. For example, condensation of chloroquinazoline II and 4-aminopyridine afforded claimed quinazoline III. In p38-α kinase inhibition studies, 9-examples of compds. I exhibited IC<sub>50</sub> values in the range of 0.1-1.5 μM. Also, the specificity of compds. I for p38-α was assessed by their ability to inhibit other kinases, e.g., p38-γ JNK1, PKA, PKC, PK(PKD), cck2 and EGF-R, with IC<sub>50</sub> values ranging from 4.2 - >500 μM. Compds. I are useful anti-inflammatory agents and in the treatment of fibroproliferative diseases.

IT 157862-99-2P 474289-44-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinazolines as TGF- $\beta$  and/or p38- $\alpha$  kinase inhibitors)

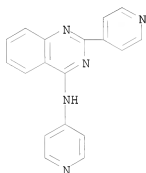
RN 157862-99-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 474289-44-6 CAPLUS

CN 4-Quinazolinamine, N,2-di-4-pyridinyl- (CA INDEX NAME)



REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:754381 CAPLUS

DOCUMENT NUMBER: 137:279208

TITLE: Preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors

INVENTOR(S): Nagarathnam, Dhanapalan; Asgari, Davoud; Shao, Jianxing; Liu, Xiao-Gao; Khire, Uday; Wang, Chunguang; Hart, Barry; Boyer, Stephen; Weber, Olaf; Lynch, Mark; Bankston, Donald

PATENT ASSIGNEE(S): Bayer Corporation, USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076976	A2	20021003	WO 2002-US8659	20020322 <--
WO 2002076976	A3	20021212		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,  
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2441492 A1 20021003 CA 2002-2441492 20020322 <--  
 AU 2002250394 A1 20021008 AU 2002-250394 20020322 <--  
 US 20030125344 A1 20030703 US 2002-103566 20020322 <--  
 EP 1370553 A2 20031217 EP 2002-719303 20020322 <--  
 EP 1370553 B1 20060510

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JP 2004524350 T 20040812 JP 2002-576234 20020322 <--  
 AT 325795 T 20060615 AT 2002-719303 20020322 <--  
 TW 261055 B 20060901 TW 2002-91105591 20020322 <--  
 PT 1370553 T 20060929 PT 2002-719303 20020322 <--  
 ES 2264477 T3 20070101 ES 2002-719303 20020322 <--  
 US 20030220357 A1 20031127 US 2002-252369 20020924 <--  
 CA 2507381 A1 20040408 CA 2003-2507381 20030924 <--  
 WO 2004029045 A2 20040408 WO 2003-US29538 20030924 <--  
 WO 2004029045 A3 20040722

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 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,  
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
 PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,  
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
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 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003270785 A1 20040419 AU 2003-270785 20030924 <--  
 MX 2003008658 A 20050411 MX 2003-8658 20030924 <--  
 EP 1542992 A2 20050622 EP 2003-752497 20030924 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
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JP 2006508068 T 20060309 JP 2004-540124 20030924 <--  
 EP 1953152 A1 20080806 EP 2008-103780 20030924 <--

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HK 1061030 A1 20060908 HK 2004-104115 20040609 <--  
 MX 2005003273 A 20051018 MX 2005-3273 20050323 <--  
 US 20060142313 A1 20060629 US 2006-354977 20060216 <--  
 US 20060142314 A1 20060629 US 2006-354978 20060216 <--

PRIORITY APPLN. INFO.:

US 2001-277974P P 20010323 <--  
 US 2001-315341P P 20010829 <--  
 US 2001-315338P P 20010829 <--  
 US 2002-103565 B1 20020322 <--  
 US 2002-103566 B1 20020322 <--  
 WO 2002-US8659 W 20020322 <--  
 US 2002-252369 A 20020924 <--  
 EP 2003-752497 A3 20030924 <--  
 WO 2003-US29538 W 20030924 <--

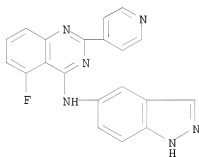
OTHER SOURCE(S): CASREACT 137:279208; MARPAT 137:279208  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [Y = N, CR17; X = alkyl, alkoxy, thioalkoxy, amido, etc.;  
 p = 0-3; a, c = CR5, NR6, etc.; b = CR5, N; A = H, halo, carboxy, cyano,  
 alkoxy, etc.; B = (un)substituted up to 3 times in any position by R5;

R1,6 = H, alkyl; R2-5 = H, alkyl, alkenyl; R17 = H, alkyl, CN with provisions] were prepared For instance, 2,4-Dichloroquinazoline (preparation given) was reacted with 5-aminoindazole (THF/H2O, KOAc) to give 2-(N-(1H-indazol-5-yl)amino)-4-chloroquinazoline in 92% yield. This was coupled to 2,4-dichlorophenylboronic acid (ethylene glycol di-Me ether, Pd(dppf)Cl2, NaHCO3, reflux) to give II. I are rho-kinase inhibitors and are useful for inhibiting tumor growth, treating erectile dysfunction and coronary heart disease.

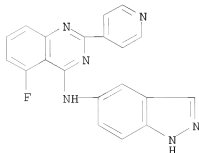
IT 461037-54-7P, 5-Fluoro-N-(1H-indazol-5-yl)-2-(4-pyridinyl)-4-quinazolinamine 461037-55-8P 461037-80-9P, N-(1H-Indazol-5-yl)-7-methyl-2-(3-pyridinyl)-4-quinazolinamine 461037-81-0P 461037-82-1P, N-(1H-Indazol-5-yl)-7-methyl-2-(4-pyridinyl)-4-quinazolinamine 461037-83-2P 461038-03-9P, 7-Chloro-N-(1H-indazol-5-yl)-2-(3-pyridinyl)-4-quinazolinamine 461038-04-0P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (rho-kinase inhibitor; preparation of (indazol-5-ylamino)quinazolines as Rho-kinase inhibitors)  
 RN 461037-54-7 CAPLUS  
 CN 4-Quinazolinamine, 5-fluoro-N-1H-indazol-5-yl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 461037-55-8 CAPLUS  
 CN 4-Quinazolinamine, 5-fluoro-N-1H-indazol-5-yl-2-(4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

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CRN 461037-54-7  
 CME C20 H13 F N6



CM 2

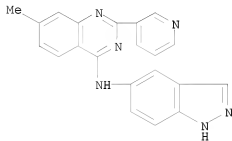
CRN 76-05-1

CMF C2 H F3 O2



RN 461037-80-9 CAPLUS

CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(3-pyridinyl)- (CA INDEX NAME)



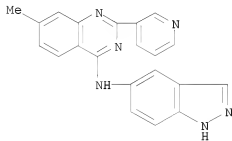
RN 461037-81-0 CAPLUS

CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(3-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 461037-80-9

CMF C21 H16 N6



CM 2

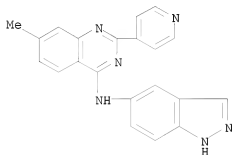
CRN 76-05-1

CMF C2 H F3 O2





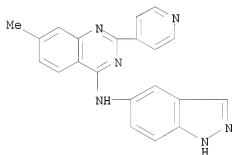
RN 461037-82-1 CAPLUS  
 CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 461037-83-2 CAPLUS  
 CN 4-Quinazolinamine, N-1H-indazol-5-yl-7-methyl-2-(4-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 461037-82-1  
 CMF C21 H16 N6

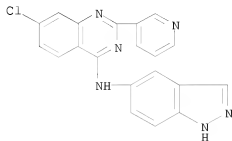


CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



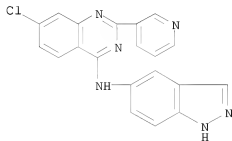
RN 461038-03-9 CAPLUS  
 CN 4-Quinazolinamine, 7-chloro-N-1H-indazol-5-yl-2-(3-pyridinyl)- (CA INDEX NAME)



RN 461038-04-0 CAPLUS  
 CN 4-Quinazolinamine, 7-chloro-N-1H-indazol-5-yl-2-(3-pyridinyl)-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 461038-03-9  
 CMF C20 H13 Cl N6



CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

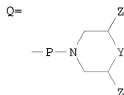
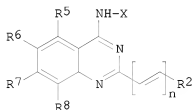
ACCESSION NUMBER: 2002:615578 CAPLUS

DOCUMENT NUMBER: 137:154942

TITLE: Preparation of novel quinazoline derivatives for preventing or treating inflammatory diseases caused by

INVENTOR(S): bacterial DNA  
Kisanuki, Sumitsugu; Tomizawa, Hideyuki; Isobe,  
Yoshiaki  
PATENT ASSIGNEE(S): Japan Energy Corp., Japan  
SOURCE: PCT Int. Appl., 96 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062767	A1	20020815	WO 2002-JP1045	20020207 <--
W: AU, CA, JP, NZ, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
AU 2002230181	A1	20020819	AU 2002-230181	20020207 <--
PRIORITY APPLN. INFO.:			JP 2001-30973	A 20010207 <--
			WO 2002-JP1045	W 20020207 <--
OTHER SOURCE(S):		MARPAT 137:154942		
GI				

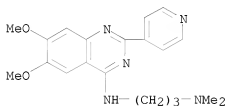


AB Disclosed are medicinal compns. for preventing or treating inflammatory diseases caused by bacterial DNA which contain as the active ingredient quinazoline derivs. represented by the following general formula (I) or pharmacol. acceptable salts thereof [wherein R5, R6, R7, R8 = H, substituents selected from a group of substituents A; or two adjacent groups of R5-R8 together represent methylenedioxy or CH:CHCH:CH; wherein substituents A = C1-4 alkyl, halo, OH, C1-4 alkoxy, C1-4 acyloxy, NR13R14 (R13, R14 = H, C1-4 alkyl), NHCOR15 (R15 = H, C1-4 alkyl), Ph, PhO, cyano, C1-4 acyl, CO2H, C2-5 alkoxy carbonyl, CONH2, N-(C1-4 alkyl)carbamoyl, N,N-di(C1-4 alkyl)carbamoyl; R2 = (un)substituted aryl or heteroaryl; n = 0, 1; X = a group of the following general formula -P-NR9R10 or Q; wherein P = (un)branched C2-6 alkylene; R9, R10 = H, C1-4 alkyl, C2-4 hydroxyalkyl, C3-6 alkoxyalkyl; Y = CHR11, O, S, NR12 (wherein R11 = H, C1-4 alkyl, OH, hydroxymethyl, methoxycarbonyl, ethoxycarbonyl; R12 = H, C1-4 alkyl, aryl optionally substituted by substituents A); Z = H or OH when Y = CHR11; Z = H when Y = O, S, or NR12]. Also disclosed are medicinal compns. containing I for preventing or treating autoimmune diseases or diseases caused by excessive production of TNF- $\alpha$  or IL-6. These compds. I inhibit the unusual production of TNF- $\alpha$  or IL-6 of macrophage or monocyte activated by bacterial DNA and are useful for treating or preventing diseases caused by unusual increase in cytokines, e.g. chronic articular rheumatism, systemic lupus erythematosus (SLE), septicemia, inflammatory bowel diseases, osteoarthritis, multiple sclerosis, Behcet's disease, rejection of bone marrow transplant, hepatitis, type II diabetes, atrial myxoma, alc. hepatic cirrhosis, myeloma, and mesangium-proliferative nephritis. Thus, mesylation of 4-(4-hydroxybutylamino)-6,7-dimethoxy-2-(2-naphthyl)quinazoline by

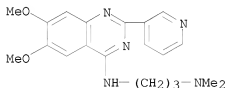
methanesulfonyl chloride and Et3N in CH2Cl2 under ice-cooling for 1 h and at room temperature for 4 h followed by amination with N-(2-methoxyethyl)ethylamine at room temperature for 2 days gave 6,7-dimethoxy-4-(4-(ethyl-(2-methoxyethyl)amino)butylamino)-2-(2-naphthyl)quinazoline (II). II in vitro inhibited the production of TNF- $\alpha$  in mouse spleen cells with IC50 of 10 nM and that of IL-6 with IC50 of 32 nM.

IT 445401-96-7P 445402-20-0P 445402-21-1P  
445402-23-3P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of novel quinazoline derivs. for preventing or treating inflammatory diseases caused by bacterial DNA)

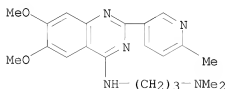
RN 445401-96-7 CAPLUS  
CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(4-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)



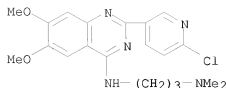
RN 445402-20-0 CAPLUS  
CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)



RN 445402-21-1 CAPLUS  
CN 1,3-Propanediamine, N3-[6,7-dimethoxy-2-(6-methyl-3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)



RN 445402-23-3 CAPLUS  
CN 1,3-Propanediamine, N3-[2-(6-chloro-3-pyridinyl)-6,7-dimethoxy-4-quinazolinyl]-N1,N1-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:504782 CAPLUS

DOCUMENT NUMBER: 137:78968

TITLE: Preparation of aminocarbonylpyrrolidine derivatives as dipeptidyl peptidase IV inhibitors

INVENTOR(S): Matsuno, Kenji; Ueno, Kimihisa; Iwata, Yasuhiro; Matsumoto, Yuichi; Nakanishi, Satoshi; Takasaki, Kotaro; Kusaka, Hideaki; Nomoto, Yuji; Ogawa, Akira

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 196 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

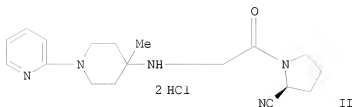
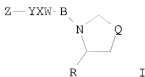
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051836	A1	20020704	WO 2001-JP11578	20011227 <--
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OTHER SOURCE(S): MARPAT 137:78968

GI



AB Title compds. [I; Q = CH<sub>2</sub>, S; R = H, (S)-CN; B = CH<sub>2</sub>CO, COCH<sub>2</sub>, CO; YXW = NHCH<sub>2</sub>CH<sub>2</sub>NH, NH(CH<sub>2</sub>)<sub>3</sub>NH, NHCH<sub>2</sub>C(CH<sub>3</sub>)<sub>2</sub>NH, 1-(4-methyl-piperidine-4-amino)-yl, 1-(1-aminomethylcyclopropyl)amino, 4-NHCH<sub>2</sub>C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>NH, N(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>), 1,4-piperazinyl, 1-piperidinyl-4-amino, N(CH<sub>3</sub>)CH<sub>2</sub>C(CH<sub>3</sub>)<sub>2</sub>NH; Z = optionally substituted 1-pyrrolidinyl, optionally substituted 3-thiazolidinyl, optionally substituted 1-oxo-3-thiazolidinyl, etc.] and pharmacol. acceptable salts of title compds. are prepared as dipeptidyl peptidase IV inhibitors. Title compds. are useful as antidiabetics, antiaids agents, antiarteriosclerosis, antihyperglycinemia agents, and as remedies for hyperglycinemia, hyperinsulinism, etc. in combination with related remedies as GI-262570, KAD1229, etc. Thus, the title compound II was prepared and in vivo tested for DPP-IV inhibition with IC<sub>50</sub> = 11 nmol/L.

IT 440099-77-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV inhibitors)

RN 440099-77-4 CAPLUS

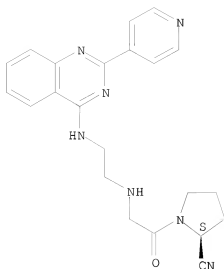
CN 2-Pyrrolidinonecarbonitrile, 1-[2-[[2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]acetyl]-, (2S)-, methanesulfonate (1:2) (CA INDEX NAME)

CM 1

CRN 440099-76-3

CMF C22 H23 N7 O

Absolute stereochemistry.



CM 2

CRN 75-75-2

CMF C H4 O3 S



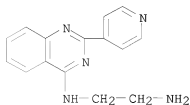
IT 380588-03-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV  
inhibitors)

RN 380588-03-4 CAPLUS

CN 1,2-Ethanediamine, N1-[2-(4-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



REFERENCE COUNT:

33

THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220584 CAPLUS

DOCUMENT NUMBER: 136:247584

TITLE: Preparation of pyrazolamines and analogs as protein  
kinase inhibitors for treatment of cancer, diabetes,

INVENTOR(S): and Alzheimer's disease  
Bebbington, David; Knegetel, Ronald; Golec, Julian M.  
C.; Li, Pan; Davies, Robert; Charrier, Jean-Damien  
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
SOURCE: PCT Int. Appl., 356 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 14  
PATENT INFORMATION:

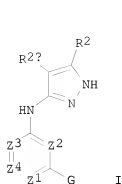
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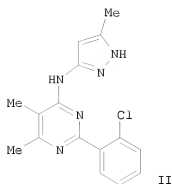
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			US 2003-624800	A3 20030722
			US 2004-775699	A1 20040210
			AU 2006-201396	A3 20060404

OTHER SOURCE(S): MARPAT 136:247584  
GI



I



II

AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR<sub>9</sub>; Z2 = N or CH; Z3 = N or CR<sub>x</sub>; Z4 = N or CR<sub>y</sub>; R<sub>x</sub> and R<sub>y</sub> = independently TR<sub>3</sub>, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR<sub>6</sub>; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R<sub>6</sub>)2O, C(R<sub>6</sub>)2SO-2, C(R<sub>6</sub>)2NR<sub>6</sub>, CO, CO<sub>2</sub>, CR<sub>6</sub>CO, CR<sub>6</sub>CONR<sub>6</sub>, C(R<sub>6</sub>)2NR<sub>6</sub>CO, C(R<sub>6</sub>)2NR<sub>6</sub>CO<sub>2</sub>, CR<sub>6</sub>NNR<sub>6</sub>, CR<sub>6</sub>NO, C(R<sub>6</sub>)2NR<sub>6</sub>NR<sub>6</sub>, C(R<sub>6</sub>)2NR<sub>6</sub>SO<sub>2</sub>NR<sub>6</sub>, C(R<sub>6</sub>)2NR<sub>6</sub>CONR<sub>6</sub>, or CONR<sub>6</sub>; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO<sub>2</sub>R, COCOR, COCH<sub>2</sub>COR, NO<sub>2</sub>, CN, SO<sub>2</sub>-2R, N(R<sub>4</sub>)<sub>2</sub>, CON(R<sub>4</sub>)<sub>2</sub>, SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, OCOR, NR<sub>4</sub>COR, NR<sub>4</sub>CO<sub>2</sub>(aliphatic), NR<sub>4</sub>N(R<sub>4</sub>)<sub>2</sub>, C:NN(R<sub>4</sub>)<sub>2</sub>, C:NOR, NR<sub>4</sub>CO(R<sub>4</sub>)<sub>2</sub>, NR<sub>4</sub>SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, NR<sub>4</sub>SO<sub>2</sub>R, or OCON(R<sub>4</sub>)<sub>2</sub>; R<sub>4</sub> = R<sub>7</sub>, COR<sub>7</sub>, CO<sub>2</sub>(aliphatic), CON(R<sub>7</sub>)<sub>2</sub>, or SO<sub>2</sub>R<sub>7</sub>; or N(R<sub>4</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>6</sub> and R<sub>7</sub> = independently H or (un)substituted aliphatic group; or N(R<sub>6</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; or N(R<sub>7</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>9</sub> = R, halo, OR, COR, CO<sub>2</sub>R, COCOR, etc.] were prepared as protein kinase inhibitors, especially

as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 = CR<sub>9</sub>; Z2 and Z3 = N; Z4 = CR<sub>y</sub>]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited K<sub>i</sub> values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.

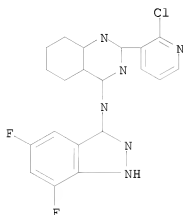
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(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes,

and Alzheimer's disease)

RN 404827-24-3 CAPLUS

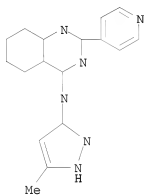
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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-10-0 CAPLUS

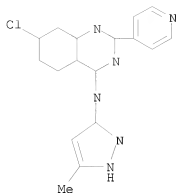
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



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RN 404828-11-1 CAPLUS

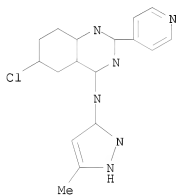
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RN 404828-12-2 CAPLUS

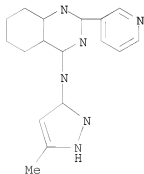
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-  
(CA INDEX NAME)



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RN 404828-37-1 CAPLUS

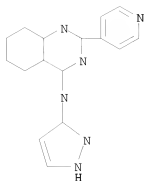
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INDEX NAME)



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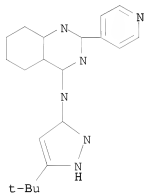
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RN 404828-50-8 CAPLUS

CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



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REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220583 CAPLUS

DOCUMENT NUMBER: 136:247583

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Davies, Robert; Bebbington, David; Knegt, Ronald; Wannamaker, Marion; Li, Pan; Forester, Cornelia; Pierce, Albert; Kay, David

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCI Int. Appl., 373 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

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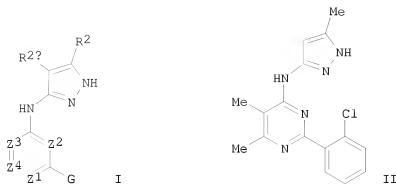
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OTHER SOURCE(S):  
GI

MARPAT 136:247583



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially

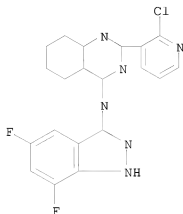
as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z1 and Z2 = N; Z3 = CRx; Z4 = CRy; G = Ring C]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ , Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.

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(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

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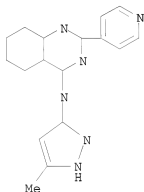
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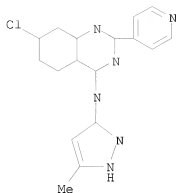
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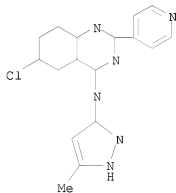
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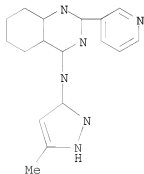
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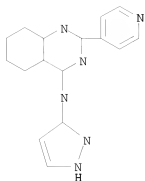
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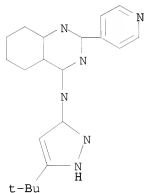
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RN 404828-50-8 CAPLUS

CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220582 CAPLUS

DOCUMENT NUMBER: 136:247582

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Bebbington, David; Binch, Hayley; Knegt, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert; Li, Pan; Wannamaker, Marion; Forster, Cornelia; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 355 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

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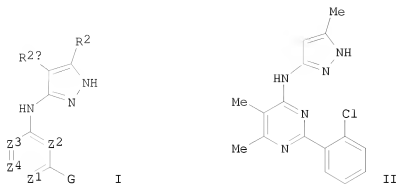
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OTHER SOURCE(S): MARPAT 136:247582  
GI





AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z<sup>1</sup> = N or CR<sup>9</sup>; Z<sup>2</sup> = N or CH; Z<sup>3</sup> = N or CR<sup>x</sup>; Z<sup>4</sup> = N or CR<sup>y</sup>; R<sup>x</sup> and R<sup>y</sup> = independently TR<sub>3</sub>, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R<sup>2</sup> and R<sup>2a</sup> = independently R, TWR<sub>6</sub>; or C<sub>2</sub>R<sub>2</sub>R<sup>2a</sup> = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R<sub>6</sub>)<sub>2</sub>O, C(R<sub>6</sub>)<sub>2</sub>SO<sub>2</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>, CO, CO<sub>2</sub>, CR<sub>6</sub>OCO, CR<sub>6</sub>OCOR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CO, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CO<sub>2</sub>, CR<sub>6</sub>:NNR<sub>6</sub>, CR<sub>6</sub>:NO, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>NR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>SO<sub>2</sub>NR<sub>6</sub>, C(R<sub>6</sub>)<sub>2</sub>NR<sub>6</sub>CONR<sub>6</sub>, or CONR<sub>6</sub>; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R<sub>3</sub> = R, halo, O, OR, COR, CO<sub>2</sub>R, COCOR, COCH<sub>2</sub>COR, NO<sub>2</sub>, CN, SO<sub>2</sub>-2R, N(R<sub>4</sub>)<sub>2</sub>, CON(R<sub>4</sub>)<sub>2</sub>, SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, OCOR, NR<sub>4</sub>COR, NR<sub>4</sub>CO<sub>2</sub>(aliphatic), NR<sub>4</sub>N(R<sub>4</sub>)<sub>2</sub>, C:NN(R<sub>4</sub>)<sub>2</sub>, C:NOR, NR<sub>4</sub>CO(R<sub>4</sub>)<sub>2</sub>, NR<sub>4</sub>SO<sub>2</sub>N(R<sub>4</sub>)<sub>2</sub>, NR<sub>4</sub>SO<sub>2</sub>R, or OCON(R<sub>4</sub>)<sub>2</sub>; R<sub>4</sub> = R<sub>7</sub>, COR<sub>7</sub>, CO<sub>2</sub>(aliphatic), CON(R<sub>7</sub>)<sub>2</sub>, or SO<sub>2</sub>R<sub>7</sub>; or N(R<sub>4</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>6</sub> and R<sub>7</sub> = independently H or (un)substituted aliphatic group; or N(R<sub>6</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; or N(R<sub>7</sub>)<sub>2</sub> = heterocyclyl or heteroaryl; R<sub>9</sub> = R, halo, OR, COR, CO<sub>2</sub>R, COCOR, etc.] were prepared as protein kinase inhibitors, especially

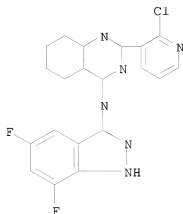
as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (pyrimidinyl)pyrazolamines and indazolamines I [wherein Z<sup>1</sup> and Z<sup>2</sup> = N; Z<sub>3</sub> = CR<sup>x</sup>; Z<sub>4</sub> = CR<sup>y</sup>; G = Ring D]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β<sub>3</sub>, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited K<sub>i</sub> values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

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(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

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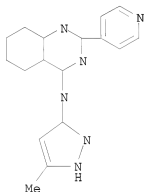
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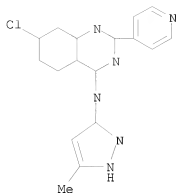
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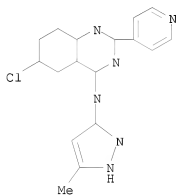
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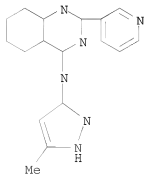
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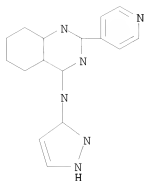
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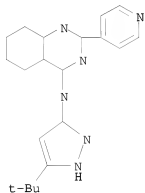
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REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220581 CAPLUS

DOCUMENT NUMBER: 136:247581

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Golec, Julian M. C.; Charrier, Jean-Damien; Knegetel, Ronald; Bebbington, David; Davies, Robert; Li, Pan

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 357 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6CONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially

as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrazolamines and indazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N; at least one of Z1 or Z3 = N]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.

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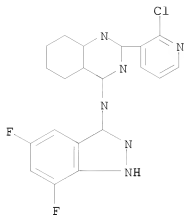
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

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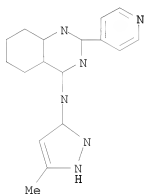




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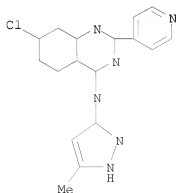
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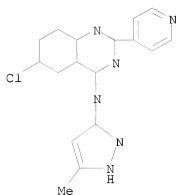
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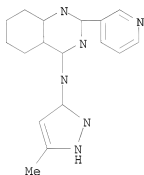
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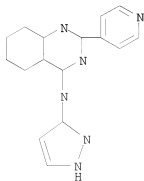
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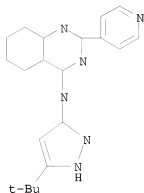
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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220580 CAPLUS

DOCUMENT NUMBER: 136:247606

TITLE: Preparation of 3-(4-pyrimidinylamino)pyrazole derivatives as protein kinase inhibitors, especially of Aurora-2 and GSK-3, for treating cancer, diabetes and Alzheimer's disease.

INVENTOR(S): Davies, Robert; Bebbington, David; Binch, Haley; Knegt, Ronald; Golec, Julian M. C.; Patel, Sanjay; Charrier, Jean-Damien; Kay, David; Davies, Robert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 357 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

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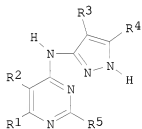
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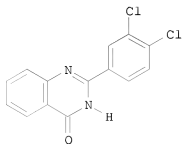
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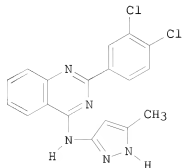
MARPAT 136:247606



I



II



III

AB The preparation of title compds. I and their pharmaceutically acceptable salts or prodrugs is described [wherein: R1, R2 = dependently form (un)substituted fused, unsatd. or partially unsatd., 5-8 membered carbocyclo ring; R3, R4 = independently H, aliphatic, aryl, heteroaryl, heterocyclyl, or wide variety of functionalized sidechains; or dependently form a fused, 5-8 membered, unsatd. or partially unsatd. ring having 0-3 ring heteroatoms (N, S, O); R5 = fused, (un)substituted 5-7 membered monocyclic ring or 8-10 membered bicyclic ring (aryl, heteroaryl, heterocyclyl or carbocyclyl, said heteroaryl or heterocyclyl ring having 1-4 ring heteroatoms (N, S, O))]. For example, chlorination of quinazalone II with phosphorus oxychloride, followed by condensation with 3-amino-5-methylpyrazole afforded claimed compound III. Compds. I are inhibitors of GSK-3 and Aurora-2 protein kinases. The invention also relates to methods of treating diseases associated with these protein kinases, such as diabetes, cancer and Alzheimer's disease. In bioassays, compds. I inhibited the following kinases with Kis reported < 100 nM: GSK-3 $\beta$  (163 compds.), AURORA-2 (65 compds.), CDK-2 (no data), ERK2 (8 compds.), AKT (no data), and Human Src kinase (21 compds.). Claims included 146 specific compds., and 188 examples were given. The syntheses of 6 compds. and 46 intermediates are described.

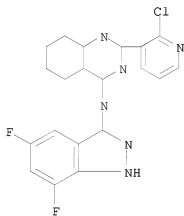
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-(4-pyrimidinylamino)pyrazole compds. as protein kinase inhibitors)

RN 404827-24-3 CAPLUS

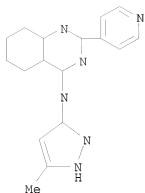
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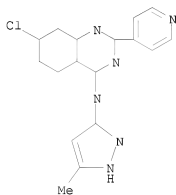
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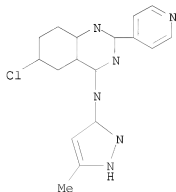
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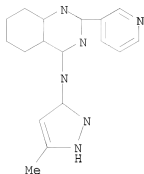


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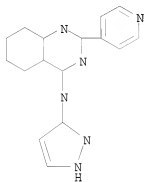
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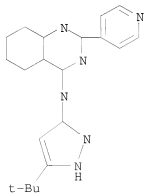
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RN 404828-50-8 CAPLUS

CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220579 CAPLUS

DOCUMENT NUMBER: 136:247580

TITLE: Preparation of pyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Davies, Robert; Li, Pan; Golec, Julian; Bebbington, David

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 406 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

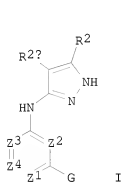
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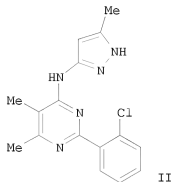
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OTHER SOURCE(S): MARPAT 136:247580  
GI



I



II

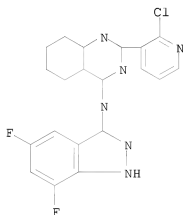
AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SOO-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially

as

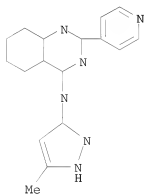
inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (triazinyl)pyrazolamines and indazolamines I [wherein Z1, Z2, and Z3 = N; Z4 = CRy]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 0.1-1.0  $\mu$ M for Aurora-2.

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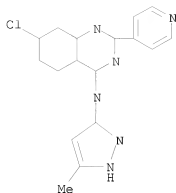
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
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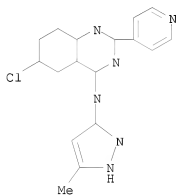
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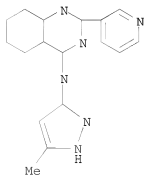
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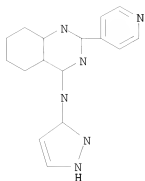
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INDEX NAME)



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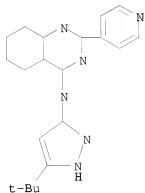
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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-50-8 CAPLUS

CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220578 CAPLUS

DOCUMENT NUMBER: 136:263164

TITLE: Preparation of triazolamines as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease

INVENTOR(S): Bebbington, David; Knegt, Ronald; Binch, Haley; Golec, Julian M. C.; Li, Pan; Charrier, Jean-Damien

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 377 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002022602 A3 20020627

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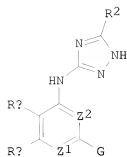
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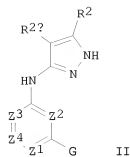
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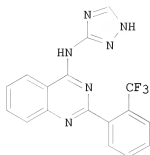
OTHER SOURCE(S):            MARPAT 136:263164  
GI



I



II



III

AB Triazolamines I and pyrazolamines II [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR9; Z2 = N or CH; Z3 = N or CRx; Z4 = N or CRy; Rx and Ry = independently TR3, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2S0-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR, CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover (heterocyclyl)triazolamines I [wherein Z1 = N or CR9; Z2 = N or CH; R9 is defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK- $\beta$ 3, Aurora-2, ERK, and Src. For instance, the N-(4-quinazolinyl)-1H-1,2,4-triazol-3-amine III was prepared and exhibited Ki values of < 0.1  $\mu$ M for glycogen synthetase kinase 3 $\beta$  (GSK-3 $\beta$ ) and 1.0-20  $\mu$ M for Aurora-2.

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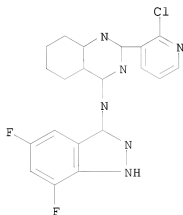
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 404889-58-3P 404891-18-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(protein kinase inhibitor; preparation of triazolamines, pyrazolamines, and  
 analogs as protein kinase inhibitors for treatment of cancer, diabetes,  
 and Alzheimer's disease)

RN 404827-24-3 CAPLUS

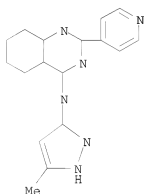
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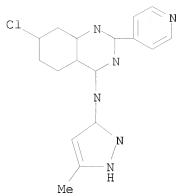
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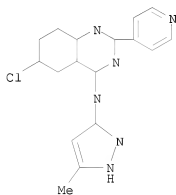
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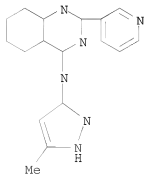
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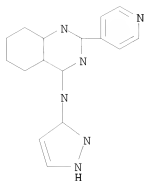
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INDEX NAME)



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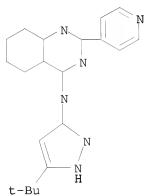
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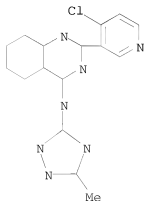
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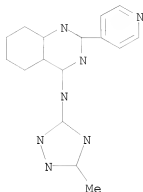
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 (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
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 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:220577 CAPLUS

DOCUMENT NUMBER: 136:247579

TITLE: Preparation of pyrazolamines and analogs as protein  
 kinase inhibitors for treatment of cancer, diabetes,  
 and Alzheimer's disease

INVENTOR(S): Knegt, Ronald; Bebbington, David; Binch, Hayley;  
 Golec, Julian; Patel, Sanjay; Charrier, Jean-Damien;  
 Kay, David; Davies, Robert; Li, Pan; Wannamaker,  
 Marion; Forster, Cornelia; Pierce, Albert

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 376 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 14

PATENT INFORMATION:

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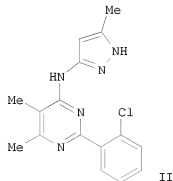
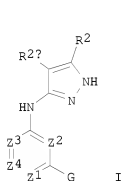
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US 2004-775699	A1 20040210
AU 2006-201396	A3 20060404

OTHER SOURCE(S):  
GI

MARPAT 136:247579



AB Title compds. I [wherein G = Ring C or Ring D; Ring C = (un)substituted Ph, pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, or 1,2,4-triazinyl; Ring D = (un)substituted monocyclic or bicyclic ring selected from aryl, heteroaryl, heterocyclyl, or carbocyclyl; Z1 = N or CR<sub>9</sub>; Z2 = N or CH; Z3 = N or CR<sub>x</sub>; Z4 = N or CR<sub>y</sub>; R<sub>x</sub> and R<sub>y</sub> = independently TR<sub>3</sub>, or taken together with their intervening atoms form an (un)saturated fused ring having 1-3 ring heteroatoms; R2 and R2a = independently R, TWR6; or C2R2R2a = (un)substituted fused ring containing 0-3 heteroatoms; T = a bond or alkylidene chain; W = C(R6)2O, C(R6)2SO-2, C(R6)2NR6, CO, CO2, CR6OCO, CR6OCONR6, C(R6)2NR6CO, C(R6)2NR6CO2, CR6:NNR6, CR6:NO, C(R6)2NR6NR6, C(R6)2NR6SO2NR6, C(R6)2NR6CONR6, or CONR6; R = H or (un)substituted aliphatic, (hetero)aryl, or heterocyclyl ring; R3 = R, halo, O, OR, COR,

CO2R, COCOR, COCH2COR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, NR4CO2(aliphatic), NR4N(R4)2, C:NN(R4)2, C:NOR, NR4CO(R4)2, NR4SO2N(R4)2, NR4SO2R, or OCON(R4)2; R4 = R7, COR7, CO2(aliphatic), CON(R7)2, or SO2R7; or N(R4)2 = heterocyclyl or heteroaryl; R6 and R7 = independently H or (un)substituted aliphatic group; or N(R6)2 = heterocyclyl or heteroaryl; or N(R7)2 = heterocyclyl or heteroaryl; R9 = R, halo, OR, COR, CO2R, COCOR, etc.] were prepared as protein kinase inhibitors, especially

as

inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease. Claims cover pyrimidinyl- and pyridinyl- pyrazolamines and indazolamines I [wherein Z1 = N, CRA, or CH; Z2 = N or CH; and at least one of Z1 or Z2 = N; Z3 = CRx; Z4 = CRy; Ra = halo, OR, COR, CO2R, COCOR, NO2, CN, SO0-2R, N(R4)2, CON(R4)2, SO2N(R4)2, OCOR, NR4COR, etc.; R and R4 are defined above]. Examples include data for approx. 300 invention compds. prepared by a variety of synthetic methods and bioassay results for the inhibition of GSK-β3, Aurora-2, ERK, and Src. For instance, the N-(4-pyrimidinyl)-3-pyrazolamine II was prepared and exhibited Ki values of < 0.1 μM for glycogen synthetase kinase 3β (GSK-3β) and 0.1-1.0 μM for Aurora-2.

II

404827-24-3P, [2-(2-Chloropyridin-3-yl)quinazolin-4-yl] (5,7-Difluoro-1H-indazol-3-yl)amine 404828-10-0P, (5-Methyl-2H-pyrazol-3-yl) (2-pyridin-4-ylquinazolin-4-yl)-amine 404828-11-1P, (7-Chloro-2-pyridin-4-ylquinazolin-4-yl) (5-methyl-2H-pyrazol-3-yl)amine 404828-12-2P, (6-Chloro-2-pyridin-4-ylquinazolin-4-yl) (5-methyl-2H-pyrazol-3-yl)amine 404828-37-1P, (5-Methyl-2H-pyrazol-3-yl) (2-pyridin-3-ylquinazolin-4-yl)amine 404828-45-1P, (2H-Pyrazol-3-yl) (2-pyridin-4-ylquinazolin-4-yl)amine 404828-50-8P, (5-tert-Butyl-2H-pyrazol-3-yl) (2-pyridin-4-ylquinazolin-4-yl)amine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

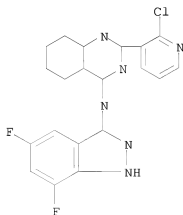
(protein kinase inhibitor; preparation of heterocyclylpyrazolamines and analogs as protein kinase inhibitors for treatment of cancer, diabetes, and Alzheimer's disease)

RN

404827-24-3 CAPLUS

CN

4-Quinazolinamine, 2-(2-chloro-3-pyridinyl)-N-(5,7-difluoro-1H-indazol-3-yl)- (CA INDEX NAME)



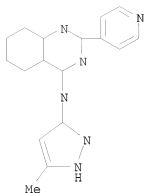
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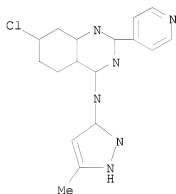
4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)- (CA INDEX NAME)



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RN 404828-11-1 CAPLUS

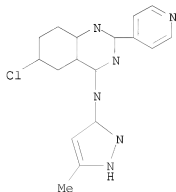
CN 4-Quinazolinamine, 7-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-  
(CA INDEX NAME)



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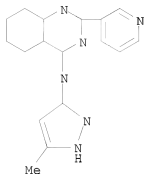
CN 4-Quinazolinamine, 6-chloro-N-(5-methyl-1H-pyrazol-3-yl)-2-(4-pyridinyl)-  
(CA INDEX NAME)



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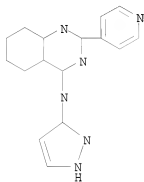
CN 4-Quinazolinamine, N-(5-methyl-1H-pyrazol-3-yl)-2-(3-pyridinyl)- (CA INDEX NAME)



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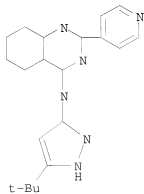
CN 4-Quinazolinamine, N-1H-pyrazol-3-yl-2-(4-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 404828-50-8 CAPLUS

CN 4-Quinazolinamine, N-[5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]-2-(4-pyridinyl)- (CA INDEX NAME)



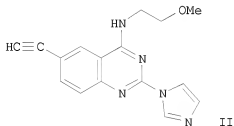
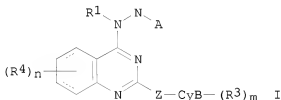
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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2002:158388 CAPLUS  
 DOCUMENT NUMBER: 136:200203  
 TITLE: Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions  
 INVENTOR(S): Pamukcu, Rifat; Piazza, Gary  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 23 pp., Cont. of U.S. Ser. No. 60,444, abandoned.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020025968	A1	20020228	US 2001-952769	20010914 <--
PRIORITY APPLN. INFO.: OTHER SOURCE(S):	MARPAT	136:200203	US 1998-60444	B1 19980415 <--

GI



AB Title compds. I [wherein R1 = H or alkyl; Y = alkylene; A = ORa or S(O)<sub>p</sub>RA; Ra = alkylhydroxy; p = 0-2; Z = single bond, methylene, ethylene, vinylene, or ethynylene; CyB = heterocyclic ring; R3 = H, alkyl, alkoxy, halo, or CF3; R4 = H, alkyl, alkoxy, CO2H, carboxy ester, alkanoylamino, alkylsulfonylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, ethynyl, hydroxymethyl, acetyl, or (un)substituted sulfamoyl, carbamoyl, etc.; m and n = independently 1-2; or pharmaceutically acceptable salts or hydrates thereof] were prepared for inhibiting neoplastic cells and related conditions. For example, amination of 2,4-dichloro-6-(2-triethylsilyl ethynyl)quinazolin-2,4-dione (preparation given) with 2-methoxyethylamine in CHCl<sub>3</sub>, followed by addition of imidazole in EtOH and deprotection using NBu<sub>4</sub>F, afforded II. I are useful in the treatment of precancerous and cancerous lesions, including malignant melanomas,

breast cancer, and colon cancer (no data).

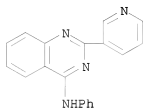
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RL: PRPH (Prophetic)

(Preparation of 4-aminoquinazolines for use in inhibiting neoplastic cells and related conditions)

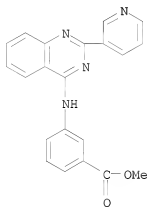
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CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-82-3 CAPLUS

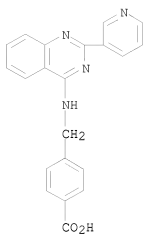
CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester (CA INDEX NAME)



RN 157862-83-4 CAPLUS

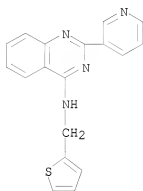
CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)





RN 157862-85-6 CAPLUS

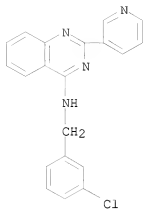
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride  
(1:2) (CA INDEX NAME)



●2 HCl

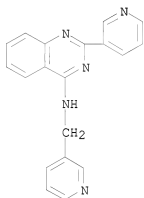
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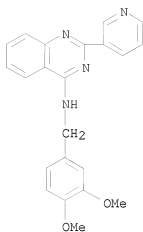


● 2 HCl

RN 157862-88-9 CAPLUS  
 CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)

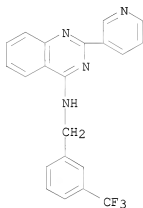


RN 157862-91-4 CAPLUS  
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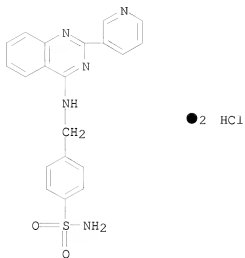
● 2 HCl

RN 157862-94-7 CAPLUS  
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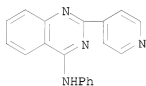
● 2 HCl

RN 157862-96-9 CAPLUS  
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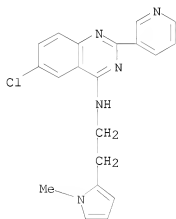
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CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157863-06-4 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

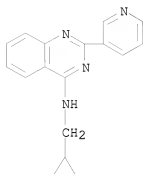


●2 HCl

RN 157863-12-2 CAPLUS

CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride

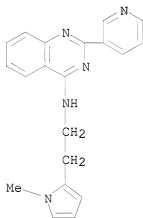
(1:2) (CA INDEX NAME)



● 2 HCl

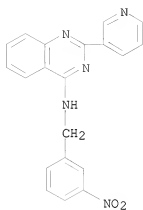
RN 157863-15-5 CAPLUS

CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-  
(CA INDEX NAME)



RN 157863-17-7 CAPLUS

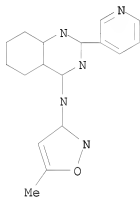
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-,  
hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 157863-19-9 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)-,  
hydrochloride (1:2) (CA INDEX NAME)

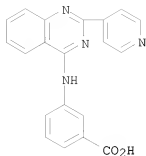


● 2 HCl

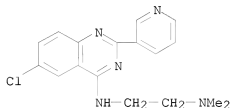
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 157863-22-4 CAPLUS

CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)

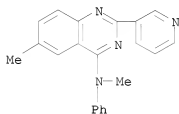


RN 157863-99-5 CAPLUS  
 CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)

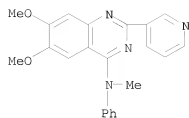


● 3 HCl

RN 1102370-06-8 CAPLUS  
 CN 4-Quinazolinamine, N,6-dimethyl-N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



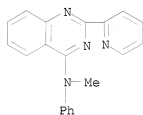
RN 1102370-08-0 CAPLUS  
 CN 4-Quinazolinamine, 6,7-dimethoxy-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 1102370-09-1 CAPLUS

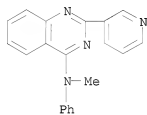
CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(2-pyridinyl)-, hydrochloride (1:2)  
(CA INDEX NAME)



● 2 HCl

RN 1102370-10-4 CAPLUS

CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2)  
(CA INDEX NAME)

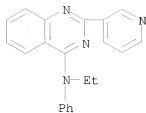


● 2 HCl

RN 1102370-11-5 CAPLUS

CN 4-Quinazolinamine, N-ethyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2)  
(CA INDEX NAME)

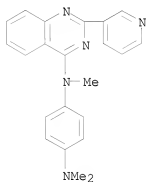




● 2 HCl

RN 1102370-12-6 CAPLUS

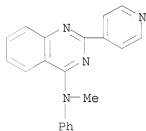
CN 1,4-Benzenediamine, N1,N1,N4-trimethyl-N4-[2-(3-pyridinyl)-4-quinazoliny]-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

RN 1102370-13-7 CAPLUS

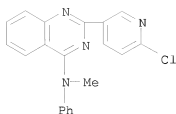
CN 4-Quinazolinamine, N-methyl-N-phenyl-2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

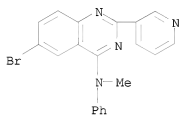
RN 1102370-14-8 CAPLUS

CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-methyl-N-phenyl- (CA INDEX NAME)



RN 1102370-17-1 CAPLUS

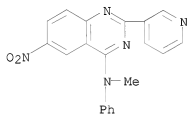
CN 4-Quinazolinamine, 6-bromo-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 1102370-18-2 CAPLUS

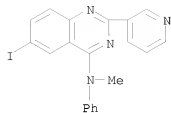
CN 4-Quinazolinamine, N-methyl-6-nitro-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

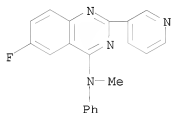
RN 1102370-19-3 CAPLUS

CN 4-Quinazolinamine, 6-iodo-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



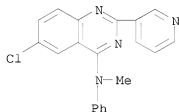
●2 HCl

RN 1102370-20-6 CAPLUS  
 CN 4-Quinazolinamine, 6-fluoro-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

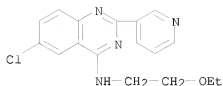
RN 1102370-44-4 CAPLUS  
 CN 4-Quinazolinamine, 6-chloro-N-methyl-N-phenyl-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

IT 171661-62-4P, 6-Chloro-4-(2-Ethoxyethyl)Amino-2-(3-Pyridyl)Quinazoline  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (antineoplastic agent; preparation of aminoquinazolines for use in inhibiting neoplastic cells and related conditions)  
 RN 171661-62-4 CAPLUS  
 CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)- (CA INDEX

NAME)

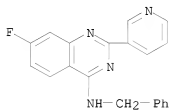


IT 157862-69-6P, 4-Phenylmethylamino-7-Fluoro-2-(3-Pyridyl)Quinazoline 157862-70-9P, 4-Phenylmethylamino-7-Fluoro-2-(3-Pyridyl)Quinazoline Dihydrochloride 157863-23-5P, 6-Acetylamino-4-Phenylmethylamino-2-(3-Pyridyl)Quinazoline 401520-93-2P, 6-Chloro-4-[(2-ethoxyethyl)amino]-2-(3-pyridyl)quinazoline hydrochloride  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antineoplastic agent; preparation of aminoquinazolines for use in inhibiting neoplastic cells and related conditions)

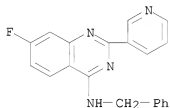
RN 157862-69-6 CAPLUS

CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-70-9 CAPLUS

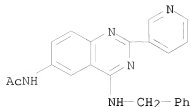
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



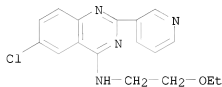
●2 HCl

RN 157863-23-5 CAPLUS

CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]- (CA INDEX NAME)

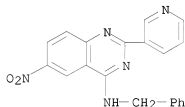


RN 401520-93-2 CAPLUS  
 CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)-,  
 hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 157863-09-7, 4-Phenylmethylamino-6-nitro-2-(3-pyridyl)quinazoline  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation of aminoquinazolines for use in inhibiting neoplastic  
 cells and related conditions)  
 RN 157863-09-7 CAPLUS  
 CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX  
 NAME)



L7 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:816643 CAPLUS

DOCUMENT NUMBER: 135:344500

TITLE: Preparation of condensed heteroaryl derivatives as  
 phosphatidylinositol 3-kinase inhibitors and  
 anticancer agents

INVENTOR(S): Hayakawa, Masahiko; Kaizawa, Hiroyuki; Moritomo,  
 Hiroyuki; Kawaguchi, Ken-ichi; Koizumi, Tomonobu;  
 Yamano, Mayumi; Matsuda, Koyo; Okada, Minoru; Ohta,  
 Mitsuaki

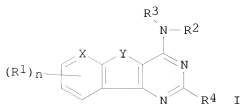
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan; Ludwig  
 Institute for Cancer Research; Imperial Cancer  
 Research Technology Ltd.

SOURCE: PCT Int. Appl., 84 pp.

DOCUMENT TYPE: CODEN: PIXXD2  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: 1 Japanese  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083456	A1	20011108	WO 2001-JP3650	20010426 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2407593	A1	20011108	CA 2001-2407593	20010426 <--
AU 2001052610	A	20011112	AU 2001-52610	20010426 <--
US 20020151544	A1	20021017	US 2001-843615	20010426 <--
US 6608053	B2	20030819		
EP 1277738	A1	20030122	EP 2001-925981	20010426 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1186324	C	20050126	CN 2001-808654	20010426 <--
JP 3649395	B2	20050518	JP 2001-580885	20010426 <--
CN 1629145	A	20050622	CN 2004-10055760	20010426 <--
CN 100345830	C	20071031		
US 6608056	B1	20030819	US 2002-243416	20020913 <--
KR 774855	B1	20071108	KR 2002-714412	20021025 <--
US 20030236271	A1	20031225	US 2003-459002	20030610 <--
US 6838457	B2	20050104		
US 20040009978	A1	20040115	US 2003-459220	20030610 <--
US 6770641	B2	20040803		
US 20050014771	A1	20050120	US 2004-918094	20040813 <--
US 7037915	B2	20060502		
JP 2005120102	A	20050512	JP 2004-332225	20041116 <--
JP 3810017	B2	20060816		
US 20060058321	A1	20060316	US 2005-250782	20051014 <--
US 7173029	B2	20070206		
US 20070037805	A1	20070215	US 2006-544144	20061006 <--
PRIORITY APPLN. INFO.:			JP 2000-128472	A 20000427 <--
			US 2000-200537P	P 20000427 <--
			US 2000-200481P	P 20000428 <--
			JP 2001-580885	A3 20010426 <--
			US 2001-843615	A3 20010426 <--
			WO 2001-JP3650	W 20010426 <--
			US 2002-243416	A3 20020913 <--
			US 2003-459002	A1 20030610
			US 2004-918094	A1 20040813
			US 2005-250782	A1 20051014

OTHER SOURCE(S): MARPAT 135:344500  
 GI



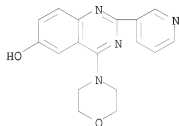
AB The title compds, e.g. I [ $n = 0 - 3$ ;  $R_1 = \text{alkyl, etc.}$ ;  $R_2, R_3 = \text{H, alkyl, etc.}$ ; further detail on  $R_2$  and  $R_3$  is given;  $R_4 = (\text{un})\text{substituted aryl, etc.}$ ;  $X = \text{N, CH}$ ;  $Y = \text{O, S, NH}$ ], are prepared Several compds. of this invention in vitro showed  $\text{IC}_{50}$  values of  $\leq 1 \mu\text{M}$  against phosphatidylinositol 3-kinase ( $\text{p110 } \alpha$  subtype). The antitumor activity of compds. of this invention is also demonstrated.

IT 371939-28-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of condensed heteroaryl derivs. as phosphatidylinositol 3-kinase inhibitors and anticancer agents)

RN 371939-28-5 CAPLUS

CN 6-Quinazolinol, 4-(4-morpholinyl)-2-(3-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:441612 CAPLUS

DOCUMENT NUMBER: 133:63991

TITLE: cGMP phosphodiesterase 5 inhibitors for inhalation in the treatment of sexual dysfunction

INVENTOR(S): Naef, Reto

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037061	A2	20000629	WO 1999-EP10250	19991221 <--
WO 2000037061	A3	20001026		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN,

IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2355368	A1	20000629	CA 1999-2355368	19991221 <--
EP 1140044	A2	20011010	EP 1999-964644	19991221 <--
EP 1140044	B1	20060315		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY

JP 2002532542	T	20021002	JP 2000-589172	19991221 <--
AT 320247	T	20060415	AT 1999-964644	19991221 <--
PT 1140044	T	20060731	PT 1999-964644	19991221 <--
ES 2260952	T3	20061101	ES 1999-964644	19991221 <--
US 20010055570	A1	20011227	US 2001-883572	20010618 <--
US 20040214831	A1	20041028	US 2004-851603	20040521 <--
US 20070197560	A1	20070823	US 2006-644659	20061222 <--

PRIORITY APPLN. INFO.:

	GB 1998-28340	A	19981222 <--
	WO 1999-EP10250	W	19991221 <--
	US 2001-883572	A1	20010618 <--

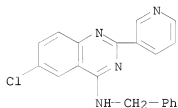
AB Treatment of sexual dysfunction is carried out by inhalation of a cGMP PDE 5 inhibitor, especially, 5-[2-ethoxy-5-(4-methylpiperazinylsulfonyl)phenyl]-1-methyl-3-n-propyl-1,6-dihydro-7H-pyrazolo[4,3-d]pyrimidin-7-one (I), 4-phenylmethylamino-6-chloro-2-(1-imidazolyl)quinazoline, 4-phenylmethylamino-6-chloro-2-(3-pyridyl)quinazoline, 1,3-dimethyl-6-(2-propoxy-5-methanesulfonylamidophenyl)-1,5-dihydropyrazolo[3,4-d]pyrimidin-4-one or 1-cyclopentyl-3-ethyl-6-(3-ethoxy-4-pyridyl)pyrazolo[3,4-d]pyrimidin-4-one. Gelatin capsules suitable for use in a capsule inhaler are prepared, each capsule containing a dry powder consisting of 10 mg I, which had been ground to a mean particle diameter of 1-5 µm, and 10 mg of lactose monohydrate having a particle diameter below 212 µm. These capsules are used in the treatment of erectile dysfunction patients by inserting a capsule into the capsule chamber of an inhaler.

IT 157862-73-2

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (cGMP phosphodiesterase inhibitors for inhalation in treatment of sexual dysfunction)

RN 157862-73-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

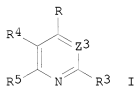
L7 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2000:161275 CAPLUS  
 DOCUMENT NUMBER: 132:194387  
 TITLE: Preparation of quinazolines as p38-α kinase and



INVENTOR(S): TGF- $\beta$  inhibitors  
 Chakravarty, Sarvajit; Dugar, Sundee; Perumattam,  
 John J.; Schreiner, George F.; Liu, David Y.; Lewicki,  
 John A.  
 PATENT ASSIGNEE(S): Scios Inc., USA  
 SOURCE: PCT Int. Appl., 48 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012497	A2	20000309	WO 1999-US19846	19990827 <--
WO 2000012497	A3	20000629		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6184226	B1	20010206	US 1998-141916	19980828
CA 2342250	A1	20000309	CA 1999-2342250	19990827 <--
AU 9962413	A	20000321	AU 1999-62413	19990827 <--
AU 771947	B2	20040408		
EP 1107959	A2	20010620	EP 1999-949568	19990827 <--
EP 1107959	B1	20061011		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
BR 9913648	A	20020102	BR 1999-13648	19990827 <--
JP 2002523502	T	20020730	JP 2000-567525	19990827 <--
CN 1152867	C	20040609	CN 1999-811659	19990827 <--
AT 342256	T	20061115	AT 1999-949568	19990827 <--
ES 2274642	T3	20070516	ES 1999-949568	19990827 <--
MX 2001002175	A	20030714	MX 2001-2175	20010228 <--
HK 1035897	A1	20070601	HK 2001-106212	20010904 <--
PRIORITY APPLN. INFO.:				
			US 1998-141916	A 19980828 <--
			WO 1999-US19846	W 19990827 <--

OTHER SOURCE(S): MARPAT 132:194387  
 GI



AB Title compds. [I; R = ZR1; R1 = (un)substituted cyclic (hetero)aliphatic group, -(hetero)aryl; R3 = noninterfering substituent (sic); R4R5 = atoms to complete a 6-membered aromatic ring containing 0, 1, or 2 nonadjacent N atoms and noninterfering substituent(s) (sic); z = bond or linker (sic); Z3 = CR2 or N; R2 = noninterfering substituent (sic)] were prepared. Thus, prep of, e.g., 4-(4-pyridinylamino)-2-phenylquinazoline was described. Data for biol. activity of I were given.

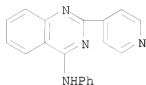
IT 157862-99-2 474289-44-6

RL: PRPH (Prophetic)

(Preparation of quinazolines as p38- $\alpha$  kinase and TGF- $\beta$  inhibitors)

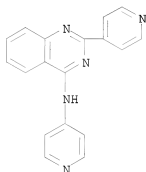
RN 157862-99-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 474289-44-6 CAPLUS

CN 4-Quinazolinamine, N,2-di-4-pyridinyl- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:567069 CAPLUS

DOCUMENT NUMBER: 125:221856

ORIGINAL REFERENCE NO.: 125:41465a,41468a

TITLE: Preparation of quinazoline derivatives as adrenergic  $\alpha$ 1C receptor antagonists

INVENTOR(S): Andrews, Robert Carl; Brown, Peter Jonathan; Deaton, David Norman; Drewry, David Harold; Foley, Michael Andrew; Garrison, Deanna T.; Marron, Brian Edward; Smalley, Terrence L.; Berman, Judd M.; Noble, Stewart Alywyn

PATENT ASSIGNEE(S): Glaxo Inc, USA

SOURCE: Brit. UK Pat. Appl., 190 pp.

CODEN: BAXXDU

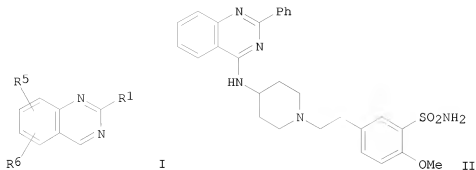
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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GB 2295387	A	19960529	GB 1994-23635	19941123 <--
PRIORITY APPLN. INFO.:			GB 1994-23635	19941123 <--
OTHER SOURCE(S):		MARPAT 125:221856		
GI				

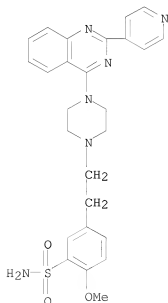


AB Title compds. [I; R = Z1Z2 = R<sub>4</sub>; R<sub>1</sub> = H, halo, alkyl, alkoxy, etc.; R<sub>4</sub> = H, (di)(alkyl)amino, phenyl(oxy), etc.; R<sub>5</sub>, R<sub>6</sub> = H, OH, halo, alkyl, alkoxy; Z<sub>1</sub> = NH, 2-(piperazine-1,4-diyl)ethylimino, iminopyridine-5,2-diylimino, etc.; Z<sub>2</sub> = bond, (un)substituted alkylene] were prepared as adrenergic α<sub>1</sub>C receptor antagonists (no data). Thus, 4-chloro-2-phenylquinazoline was aminated by 4-amino-1-benzylpiperidine and the deprotected product N-alkylated by 5-(2-chloroethyl)-2-methoxybenzenesulfonamide (preparation given) to give title compound II.

IT 181113-88-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of quinazoline derivs. as adrenergic α<sub>1</sub>C receptor antagonists)

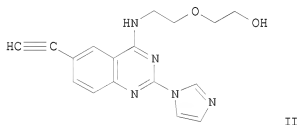
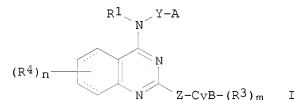
RN 181113-88-2 CAPLUS

CN Benzenesulfonamide, 2-methoxy-5-[2-[4-[2-(4-pyridinyl)-4-quinazolinyl]-1-piperazinyl]ethyl]- (CA INDEX NAME)



DOCUMENT NUMBER: 124:29779  
ORIGINAL REFERENCE NO.: 124:5715a,5718a  
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cGMP phosphodiesterase and TXA2 synthetase  
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.  
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan  
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5439895	A	19950808	US 1993-154691	19931119 <--
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
PT 579496	T	20020531	PT 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		
PRIORITY APPLN. INFO.:			US 1992-913473	B2 19920715 <--
			US 1993-76431	B2 19930614 <--
OTHER SOURCE(S):		MARPAT 124:29779		
GI				



AB The compds. of the formula I and acid addition salts thereof, salts thereof, and hydrates thereof wherein R1 is hydrogen or C1-4 alkyl; Y is C1-6 alkylene; A is OR0 or S(O)pR0, in which R0 is C1-4 alkyl-hydroxy; p is 0-2; Z is single bond, methylene, ethylene, vinylene or ethynylene; CyB is (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or

three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atom, one nitrogen atom, (4) 4- or 5-membered, unsatd. or partially saturated, monocyclic hetero ring containing

as hetero atoms, one, two or three nitrogen atoms, or (5) 4-7 membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero

atoms, one or two oxygen atoms, or one or two sulfur atoms; R3 = e.g., H, C1-4 alkyl, C1-4 alkoxy; R4 = e.g., H, C1-4 alkyl, C1-4 alkoxy; and m and n independently are 1 or 2; with the proviso that (1) a CyB ring does not bond to Z through a nitrogen atom in the CyB ring when Z is vinylene or ethynylene, have inhibitory effect on cGMP-PDE, and addnl. on TXA2 synthetase. Thus, e.g., 2-(1-imidazolyl)-4-[2-(2-hydroxyethoxy)ethyl]amino-6-ethynylquinazoline.2HCl (II.2HCl) (prepared by desilylation of a silylacetylene precursor) exhibited inhibitory effect on cGMP-PDE and TXA2 synthetase with IC50 = 4.6 + 10-8 M and 1.33 + 10-6 M, resp. Pharmaceutical formulations were given.

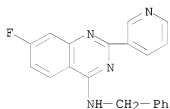
IT 157862-69-6P 157862-70-9P 157862-71-0P  
157862-72-1P 157862-73-2P 157862-74-3P  
157862-75-4P 157862-76-5P 157862-77-6P  
157862-78-7P 157862-79-8P 157862-80-1P  
157862-81-2P 157862-82-3P 157862-83-4P  
157862-84-5P 157862-85-6P 157862-86-7P  
157862-87-8P 157862-88-9P 157862-89-0P  
157862-90-3P 157862-91-4P 157862-92-5P  
157862-93-6P 157862-94-7P 157862-95-8P  
157862-96-9P 157862-97-0P 157862-98-1P  
157862-99-2P 157863-00-8P 157863-05-3P  
157863-06-4P 157863-07-5P 157863-08-6P  
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157863-12-2P 157863-13-3P 157863-14-4P  
157863-15-5P 157863-16-6P 157863-17-7P  
157863-18-8P 157863-19-9P 157863-20-2P  
157863-21-3P 157863-22-4P 157863-23-5P  
157863-99-5P 171661-62-4P 171661-63-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(4-aminoquinazoline derivs. as inhibitors of cGMP phosphodiesterase and TXA2 synthetase)

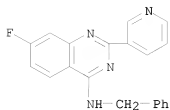
RN 157862-69-6 CAPLUS

CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



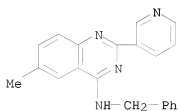
RN 157862-70-9 CAPLUS

CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

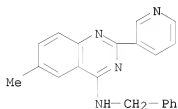


● 2 HCl

RN 157862-71-0 CAPLUS  
 CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

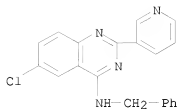


RN 157862-72-1 CAPLUS  
 CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



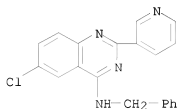
● 2 HCl

RN 157862-73-2 CAPLUS  
 CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-74-3 CAPLUS

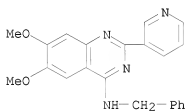
CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-,  
hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

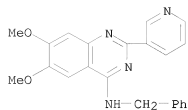
RN 157862-75-4 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)- (CA  
INDEX NAME)



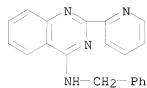
RN 157862-76-5 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-,  
hydrochloride (1:2) (CA INDEX NAME)

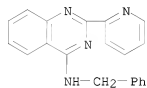


● 2 HCl

RN 157862-77-6 CAPLUS  
 CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)- (CA INDEX NAME)

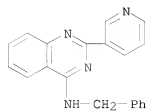


RN 157862-78-7 CAPLUS  
 CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)-, hydrochloride (1:2)  
 (CA INDEX NAME)



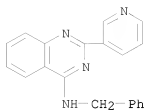
● 2 HCl

RN 157862-79-8 CAPLUS  
 CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-80-1 CAPLUS  
 CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2)  
 (CA INDEX NAME)

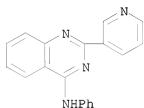




● 2 HCl

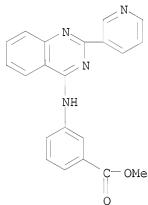
RN 157862-81-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



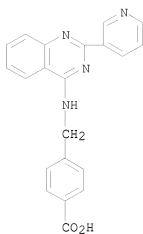
RN 157862-82-3 CAPLUS

CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester  
(CA INDEX NAME)



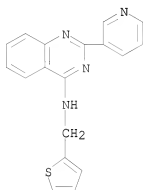
RN 157862-83-4 CAPLUS

CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)



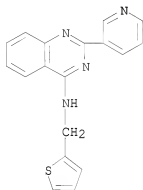
RN 157862-84-5 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)- (CA INDEX NAME)



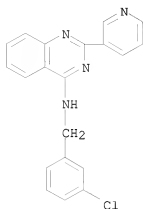
RN 157862-85-6 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride  
(1:2) (CA INDEX NAME)

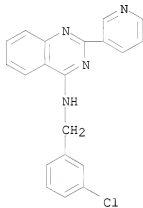


● 2 HCl

RN 157862-86-7 CAPLUS  
 CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

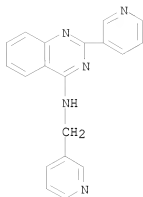


RN 157862-87-8 CAPLUS  
 CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



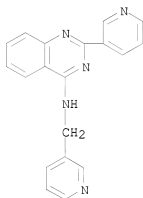
● 2 HCl

RN 157862-88-9 CAPLUS  
 CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)



RN 157862-89-0 CAPLUS

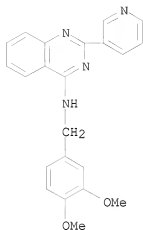
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride (1:3) (CA INDEX NAME)



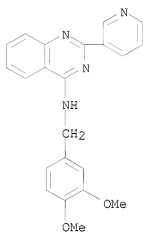
●3 HCl

RN 157862-90-3 CAPLUS

CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

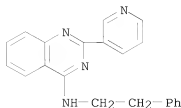


RN 157862-91-4 CAPLUS  
 CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-,  
 hydrochloride (1:2) (CA INDEX NAME)



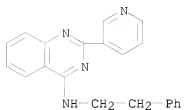
● 2 HCl

RN 157862-92-5 CAPLUS  
 CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-93-6 CAPLUS  
 CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)-, hydrochloride (1:2)

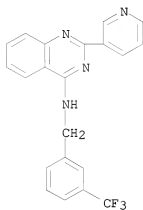
(CA INDEX NAME)



●2 HCl

RN 157862-94-7 CAPLUS

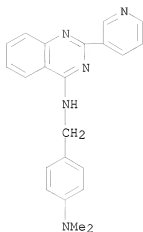
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 157862-95-8 CAPLUS

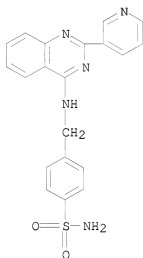
CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

RN 157862-96-9 CAPLUS

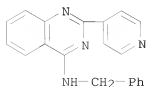
CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 157862-97-0 CAPLUS

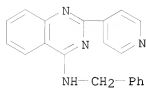
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157862-98-1 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2)

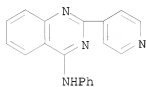
(CA INDEX NAME)



● 2 HCl

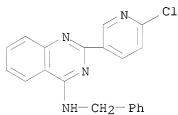
RN 157862-99-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157863-00-8 CAPLUS

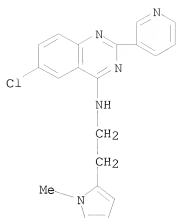
CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-(phenylmethyl)- (CA INDEX NAME)



RN 157863-05-3 CAPLUS

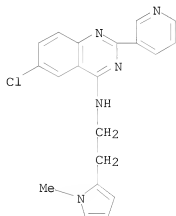
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)





RN 157863-06-4 CAPLUS

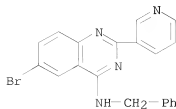
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 157863-07-5 CAPLUS

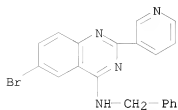
CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-08-6 CAPLUS

CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)-,

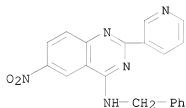
hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

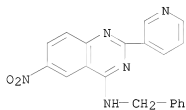
RN 157863-09-7 CAPLUS

CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-10-0 CAPLUS

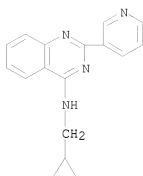
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

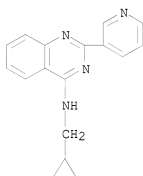
RN 157863-11-1 CAPLUS

CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-12-2 CAPLUS

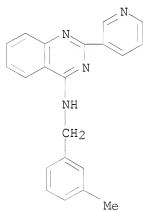
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

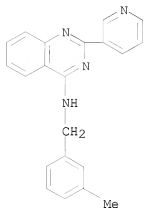
RN 157863-13-3 CAPLUS

CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-14-4 CAPLUS

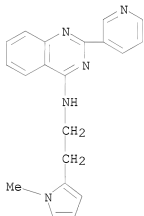
CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)-,  
hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

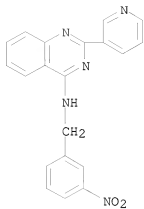
RN 157863-15-5 CAPLUS

CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-  
(CA INDEX NAME)



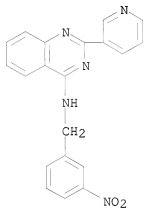
RN 157863-16-6 CAPLUS

CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX  
NAME)



RN 157863-17-7 CAPLUS

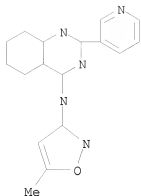
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-,  
hydrochloride (1:2) (CA INDEX NAME)



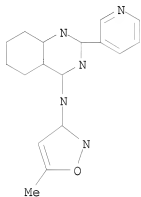
●2 HCl

RN 157863-18-8 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)- (CA INDEX  
NAME)

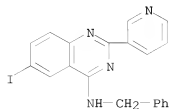


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 157863-19-9 CAPLUS  
 CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)-,  
 hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

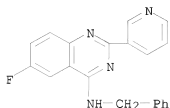
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 157863-20-2 CAPLUS  
 CN 4-Quinazolinamine, 6-iodo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride  
 (1:2) (CA INDEX NAME)



● 2 HCl

RN 157863-21-3 CAPLUS

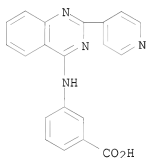
CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

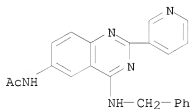
RN 157863-22-4 CAPLUS

CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



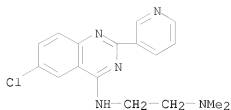
RN 157863-23-5 CAPLUS

CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]- (CA INDEX NAME)



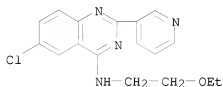
RN 157863-99-5 CAPLUS

CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)

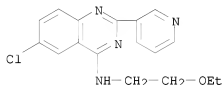


● 3 HCl

RN 171661-62-4 CAPLUS  
CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 171661-63-5 CAPLUS  
CN 4-Quinazolinamine, 6-chloro-N-(2-ethoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



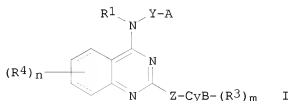
● 2 HCl

L7 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 1995:761961 CAPLUS  
DOCUMENT NUMBER: 123:340173  
ORIGINAL REFERENCE NO.: 123:61059a,61062a  
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase  
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.  
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan  
SOURCE: U.S., 44 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3



PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5436233	A	19950725	US 1993-154518	19931119 <--
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
PT 579496	T	20020531	PT 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		
PRIORITY APPLN. INFO.:			US 1992-913473	B2 19920715 <--
			US 1993-76431	B2 19930614 <--
OTHER SOURCE(S):			CASREACT 123:340173; MARPAT 123:340173	
GI				



- AB Title compds. I [R1 is H, C1-4 alkyl; Y is a single bond or C1-6 alkylene; A is (i) CyA-(R2)1, (ii) OR0 or S(O)pR0 in which R0 is ROA or ROB; ROA is CyA-(R2)1; ROB is H or C1-4 alkyl; p is 0-2; CyA is, e.g., (1) 3-7 membered, saturated or unsatd., monocyclic carbocyclic ring, (2) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen atom, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms; R2 is R2A or R2B; R2A is, e.g., CF3, OCF3; R2B is, e.g., H, C1-4 alkyl, C1-4 alkoxy; Z is ZA or ZB, ZA is methylene, ethylene, vinylene, ethynylene; ZB is a single bond; CyB is, e.g., (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as a hetero atom, one nitrogen atom; R3 = e.g., H, C1-4 alkyl; R4 = e.g., NHSO2R11, R11 = e.g., C1-4 alkyl; l, m, n are independently 1 or 2 (with provisos)] are provided as inhibitors of cGMP-PDE and TXA2 synthetase. Thus, e.g., treatment of 2-(1-imidazolyl)-4-(2-methoxyethyl)amino-6-(2-triethylsilylethynyl)quinazoline (preparation given) with tetrabutylammonium fluoride afforded 6-ethynyl-4-(2-methoxyethyl)amino-2-(1-imidazolyl)quinazoline (II); II.2HCl demonstrated inhibition of cGMP-PDE with and TXA2 synthetase with IC50 = 4.6 + 10-8 and 2.4 + 10-6 M, resp. Pharmaceutical formulations were given.
- IT 157862-69-6P 157862-71-0P 157862-73-2P  
157862-75-4P 157862-77-6P 157862-79-8P  
157862-84-5P 157862-86-7P 157862-88-9P  
157862-90-3P 157862-92-5P 157862-97-0P

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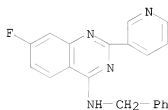
157863-18-8P 157863-98-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)

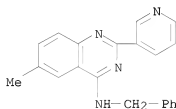
RN 157862-69-6 CAPLUS

CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



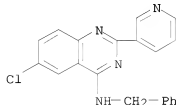
RN 157862-71-0 CAPLUS

CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



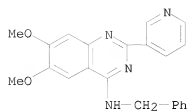
RN 157862-73-2 CAPLUS

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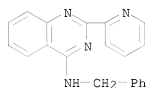


RN 157862-75-4 CAPLUS

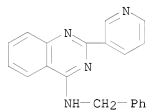
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



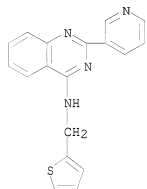
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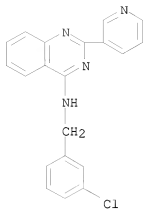
RN 157862-79-8 CAPLUS  
 CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-84-5 CAPLUS  
 CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)- (CA INDEX NAME)

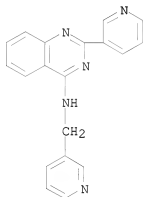


RN 157862-86-7 CAPLUS  
 CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



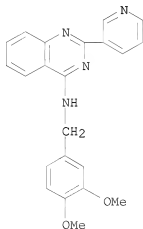
RN 157862-88-9 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)



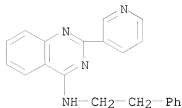
RN 157862-90-3 CAPLUS

CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



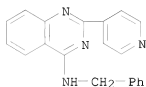
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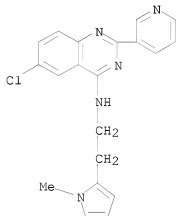
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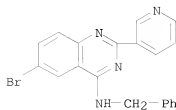
RN 157863-05-3 CAPLUS

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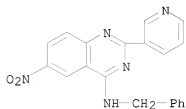
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CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



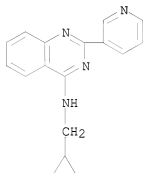
RN 157863-09-7 CAPLUS

CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



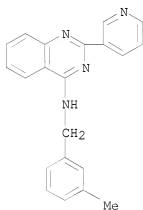
RN 157863-11-1 CAPLUS

CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



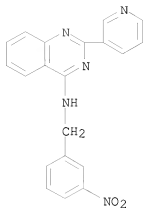
RN 157863-13-3 CAPLUS

CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)

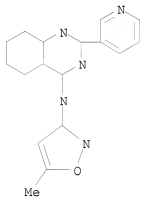


RN 157863-16-6 CAPLUS

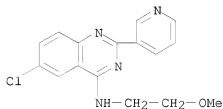
CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-18-8 CAPLUS  
 CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 157863-98-4 CAPLUS  
 CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



IT 157862-70-9P 157862-72-1P 157862-74-3P  
 157862-76-5P 157862-78-7P 157862-80-1P  
 157862-81-2P 157862-82-3P 157862-83-4P  
 157862-85-6P 157862-87-8P 157862-89-0P  
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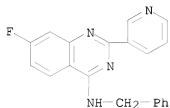
157863-08-6P 157863-10-0P 157863-12-2P  
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157863-22-4P 157863-23-5P 157863-99-5P  
170985-91-8P 170986-01-3P 170986-02-4P  
170986-03-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)

RN 157862-70-9 CAPLUS

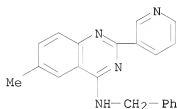
CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 157862-72-1 CAPLUS

CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

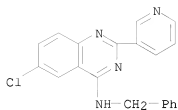


●2 HCl

RN 157862-74-3 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

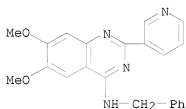




● 2 HCl

RN 157862-76-5 CAPLUS

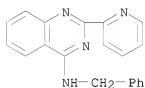
CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 157862-78-7 CAPLUS

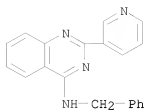
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 157862-80-1 CAPLUS

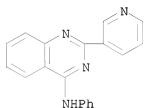
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

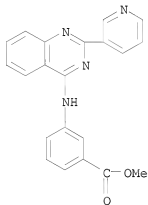
RN 157862-81-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



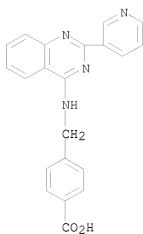
RN 157862-82-3 CAPLUS

CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester  
(CA INDEX NAME)



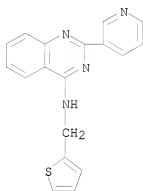
RN 157862-83-4 CAPLUS

CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)



RN 157862-85-6 CAPLUS

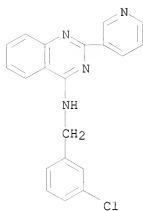
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride  
(1:2) (CA INDEX NAME)



●2 HCl

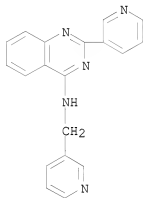
RN 157862-87-8 CAPLUS

CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-,  
hydrochloride (1:2) (CA INDEX NAME)



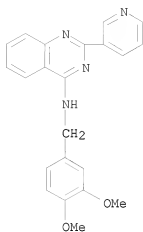
● 2 HCl

RN 157862-89-0 CAPLUS  
 CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride  
 (1:3) (CA INDEX NAME)



● 3 HCl

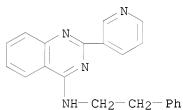
RN 157862-91-4 CAPLUS  
 CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-,  
 hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 157862-93-6 CAPLUS

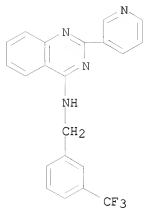
CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)-, hydrochloride (1:2)  
(CA INDEX NAME)



● 2 HCl

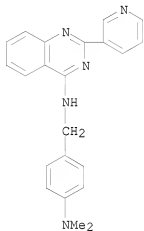
RN 157862-94-7 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



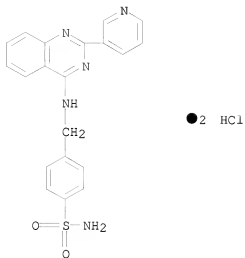
● 2 HCl

RN 157862-95-8 CAPLUS  
 CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)



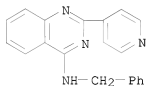
● 3 HCl

RN 157862-96-9 CAPLUS  
 CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



RN 157862-98-1 CAPLUS

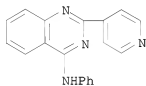
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2)  
(CA INDEX NAME)



●2 HCl

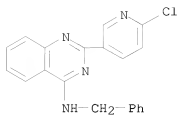
RN 157862-99-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



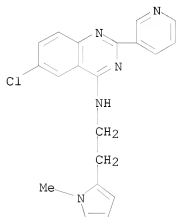
RN 157863-00-8 CAPLUS

CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-(phenylmethyl)- (CA INDEX NAME)



RN 157863-06-4 CAPLUS

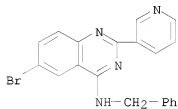
CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 157863-08-6 CAPLUS

CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

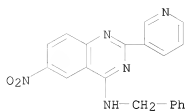


● 2 HCl

RN 157863-10-0 CAPLUS

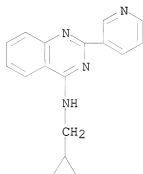
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)





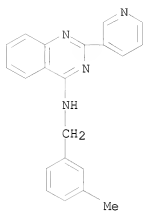
●2 HCl

RN 157863-12-2 CAPLUS  
 CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



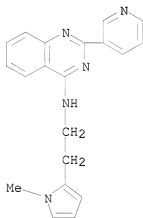
●2 HCl

RN 157863-14-4 CAPLUS  
 CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

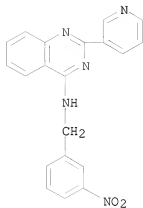


● 2 HCl

RN 157863-15-5 CAPLUS  
 CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-  
 (CA INDEX NAME)

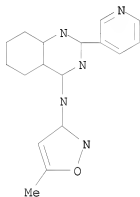


RN 157863-17-7 CAPLUS  
 CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-,  
 hydrochloride (1:2) (CA INDEX NAME)



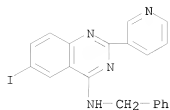
● 2 HCl

RN 157863-19-9 CAPLUS  
 CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)-,  
 hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

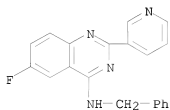
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 157863-20-2 CAPLUS  
 CN 4-Quinazolinamine, 6-iodo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride  
 (1:2) (CA INDEX NAME)



● 2 HCl

RN 157863-21-3 CAPLUS

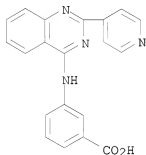
CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

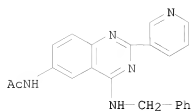
RN 157863-22-4 CAPLUS

CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



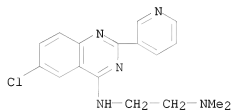
RN 157863-23-5 CAPLUS

CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]- (CA INDEX NAME)



RN 157863-99-5 CAPLUS

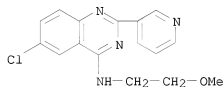
CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

RN 170985-91-8 CAPLUS

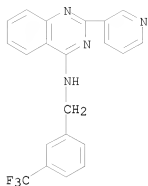
CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

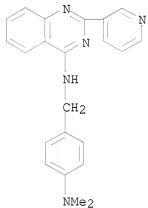
RN 170986-01-3 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



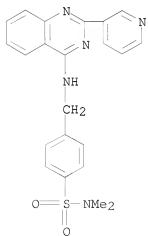
RN 170986-02-4 CAPLUS

CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-  
(CA INDEX NAME)



RN 170986-03-5 CAPLUS

CN Benzenesulfonamide, N,N-dimethyl-4-[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl- (CA INDEX NAME)



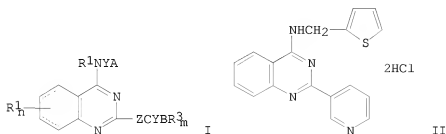
L7 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1994:605373 CAPLUS  
 DOCUMENT NUMBER: 121:205373  
 ORIGINAL REFERENCE NO.: 121:37397a,37400a  
 TITLE: 4-aminoquinazoline derivatives, and their use as medicine  
 INVENTOR(S): Lee, Sung Jai; Konishi, Yoshitaka; Macina, Orest  
 Taras; Kondo, Kigen; Yu, Dingwei Tim  
 PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 86 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 579496	A1	19940119	EP 1993-305557	19930715 <--
EP 579496	B1	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 06192235	A	19940712	JP 1993-197039	19930714 <--
CA 2100626	A1	19940116	CA 1993-2100626	19930715 <--
KR 191416	B1	19990615	KR 1993-13549	19930715 <--
AT 208771	T	20011115	AT 1993-305557	19930715 <--
ES 2167325	T3	20020516	ES 1993-305557	19930715 <--
PT 579496	T	20020531	PT 1993-305557	19930715 <--
JP 08099962	A	19960416	JP 1995-264667	19950920 <--
JP 2923742	B2	19990726		

PRIORITY APPLN. INFO.: US 1992-913473 A 19920715 <--  
 US 1993-76431 A 19930614 <--

OTHER SOURCE(S): MARPAT 121:205373  
 GI



AB The title compds. I wherein R<sub>1</sub> is H or alkyl; Y is bond or alkylene; A is (i) -CyAr<sub>2</sub>, (ii) -OR<sub>0</sub> or -S(O)<sub>p</sub>R<sub>0</sub>, R<sub>0</sub> = H, alkyl, etc., p is 0-2, (iii) -NR<sub>16</sub>R<sub>17</sub>, R<sub>16</sub>, R<sub>17</sub> are H or alkyl; CyA is (1) a 3-7 membered monocyclic carbocyclic ring, (2) a 4-7 membered monocyclic hetero ring containing as hetero atoms, one N atom, one N and one O atoms, two N and one O atoms, or one N and two O atoms, (3) a 4-7 membered monocyclic hetero ring containing as hetero atoms, 1 or 2 O or S atoms, R<sub>2</sub> is (1) H, (2) alkyl, (3) alkoxy, (4) -COOR<sub>5</sub>, in which R<sub>5</sub> is H or alkyl, (5) -NR<sub>6</sub>R<sub>7</sub>, R<sub>6</sub>, R<sub>7</sub> are H, alkyl, (6) -SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, (7) halogen, (8) CF<sub>3</sub>, (9) NO<sub>2</sub> or (10) CF<sub>3</sub>O; Z is bond, methylene, ethylene, vinylene or ethynylene; CyB is a heterocyclic ring; R<sub>3</sub> is H, alkyl, alkoxy, halogen or CF<sub>3</sub>; R<sub>4</sub> is H, alkyl, alkoxy, etc., and acid addition salts thereof, salts thereof, and hydrates thereof were prepared and have inhibitory effect on cGMP-PDE, or addnl. on TXA<sub>2</sub> synthetase.

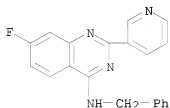
Thus, a representative prepared compound II had inhibitory activity IC50 of  $3.6 \times 10^{-7}$  on cGMP-PDE.

IT 157862-69-6P 157862-70-9P 157862-71-0P  
 157862-72-1P 157862-73-2P 157862-74-3P  
 157862-75-4P 157862-76-5P 157862-77-6P  
 157862-78-7P 157862-79-8P 157862-80-1P  
 157862-81-2P 157862-82-3P 157862-83-4P  
 157862-84-5P 157862-85-6P 157862-86-7P  
 157862-87-8P 157862-88-9P 157862-89-0P  
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 157862-93-6P 157862-94-7P 157862-95-8P  
 157862-96-9P 157862-97-0P 157862-98-1P  
 157862-99-2P 157863-00-8P 157863-05-3P  
 157863-06-4P 157863-07-5P 157863-08-6P  
 157863-09-7P 157863-10-0P 157863-11-1P  
 157863-12-2P 157863-13-3P 157863-14-4P  
 157863-15-5P 157863-16-6P 157863-17-7P  
 157863-18-8P 157863-19-9P 157863-20-2P  
 157863-21-3P 157863-22-4P 157863-23-5P  
 157863-98-4P 157863-99-5P 157864-02-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as cardiovascular agents)

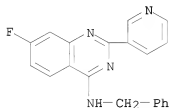
RN 157862-69-6 CAPLUS

CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-70-9 CAPLUS

CN 4-Quinazolinamine, 7-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

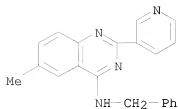


●2 HCl

RN 157862-71-0 CAPLUS

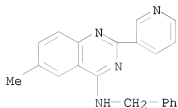
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)





RN 157862-72-1 CAPLUS

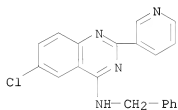
CN 4-Quinazolinamine, 6-methyl-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

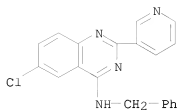
RN 157862-73-2 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



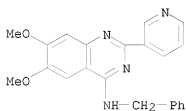
RN 157862-74-3 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

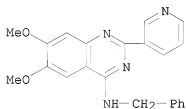


● 2 HCl

RN 157862-75-4 CAPLUS  
 CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

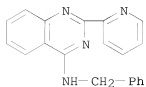


RN 157862-76-5 CAPLUS  
 CN 4-Quinazolinamine, 6,7-dimethoxy-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



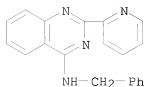
● 2 HCl

RN 157862-77-6 CAPLUS  
 CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)- (CA INDEX NAME)



RN 157862-78-7 CAPLUS

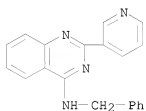
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(2-pyridinyl)-, hydrochloride (1:2)  
(CA INDEX NAME)



● 2 HCl

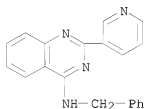
RN 157862-79-8 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-80-1 CAPLUS

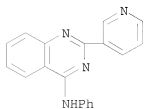
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2)  
(CA INDEX NAME)



● 2 HCl

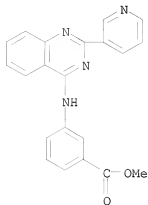
RN 157862-81-2 CAPLUS

CN 4-Quinazolinamine, N-phenyl-2-(3-pyridinyl)- (CA INDEX NAME)



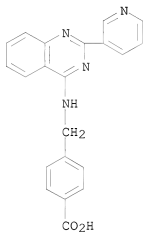
RN 157862-82-3 CAPLUS

CN Benzoic acid, 3-[[2-(3-pyridinyl)-4-quinazolinyl]amino]-, methyl ester  
(CA INDEX NAME)



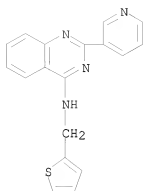
RN 157862-83-4 CAPLUS

CN Benzoic acid, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]- (CA INDEX NAME)

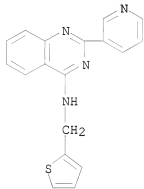


RN 157862-84-5 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)- (CA INDEX NAME)

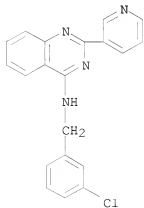


RN 157862-85-6 CAPLUS  
 CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(2-thienylmethyl)-, hydrochloride  
 (1:2) (CA INDEX NAME)

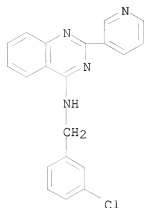


●2 HCl

RN 157862-86-7 CAPLUS  
 CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX  
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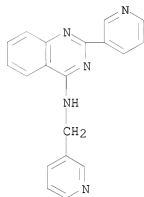


RN 157862-87-8 CAPLUS  
 CN 4-Quinazolinamine, N-[(3-chlorophenyl)methyl]-2-(3-pyridinyl)-,  
 hydrochloride (1:2) (CA INDEX NAME)

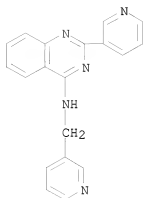


● 2 HCl

RN 157862-88-9 CAPLUS  
 CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)- (CA INDEX NAME)



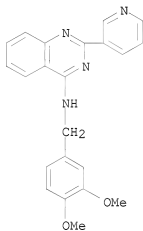
RN 157862-89-0 CAPLUS  
 CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-(3-pyridinylmethyl)-, hydrochloride  
 (1:3) (CA INDEX NAME)



● 3 HCl

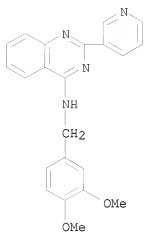
RN 157862-90-3 CAPLUS

CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-91-4 CAPLUS

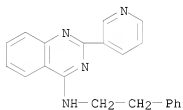
CN 4-Quinazolinamine, N-[(3,4-dimethoxyphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

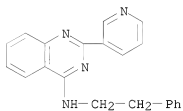
RN 157862-92-5 CAPLUS

CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157862-93-6 CAPLUS

CN 4-Quinazolinamine, N-(2-phenylethyl)-2-(3-pyridinyl)-, hydrochloride (1:2)  
(CA INDEX NAME)

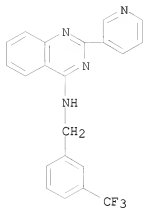


●2 HCl

RN 157862-94-7 CAPLUS

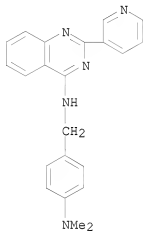
CN 4-Quinazolinamine, 2-(3-pyridinyl)-N-[[3-(trifluoromethyl)phenyl]methyl]-,  
hydrochloride (1:2) (CA INDEX NAME)





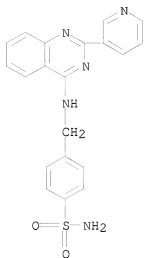
● 2 HCl

RN 157862-95-8 CAPLUS  
 CN 4-Quinazolinamine, N-[[4-(dimethylamino)phenyl]methyl]-2-(3-pyridinyl)-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

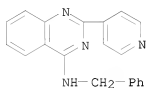
RN 157862-96-9 CAPLUS  
 CN Benzenesulfonamide, 4-[[[2-(3-pyridinyl)-4-quinazolinyl]amino]methyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

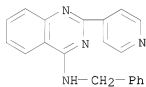
RN 157862-97-0 CAPLUS

CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)- (CA INDEX NAME)



RN 157862-98-1 CAPLUS

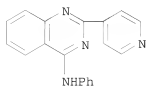
CN 4-Quinazolinamine, N-(phenylmethyl)-2-(4-pyridinyl)-, hydrochloride (1:2)  
(CA INDEX NAME)



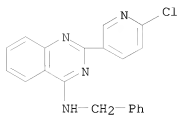
● 2 HCl

RN 157862-99-2 CAPLUS

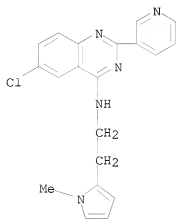
CN 4-Quinazolinamine, N-phenyl-2-(4-pyridinyl)- (CA INDEX NAME)



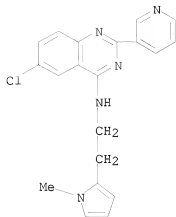
RN 157863-00-8 CAPLUS  
 CN 4-Quinazolinamine, 2-(6-chloro-3-pyridinyl)-N-(phenylmethyl)- (CA INDEX NAME)



RN 157863-05-3 CAPLUS  
 CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)- (CA INDEX NAME)

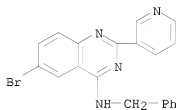


RN 157863-06-4 CAPLUS  
 CN 4-Quinazolinamine, 6-chloro-N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

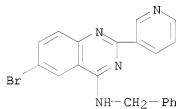


● 2 HCl

RN 157863-07-5 CAPLUS  
 CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)

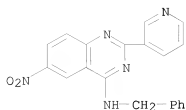


RN 157863-08-6 CAPLUS  
 CN 4-Quinazolinamine, 6-bromo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



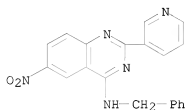
● 2 HCl

RN 157863-09-7 CAPLUS  
 CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-10-0 CAPLUS

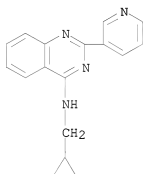
CN 4-Quinazolinamine, 6-nitro-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

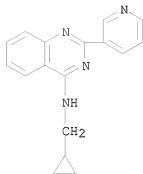
RN 157863-11-1 CAPLUS

CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)- (CA INDEX NAME)



RN 157863-12-2 CAPLUS

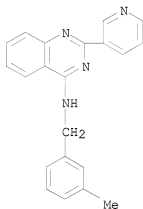
CN 4-Quinazolinamine, N-(cyclopropylmethyl)-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

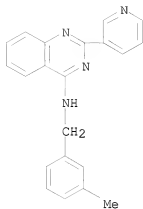
RN 157863-13-3 CAPLUS

CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)- (CA INDEX NAME)



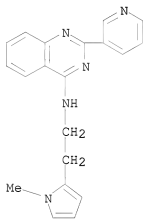
RN 157863-14-4 CAPLUS

CN 4-Quinazolinamine, N-[(3-methylphenyl)methyl]-2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

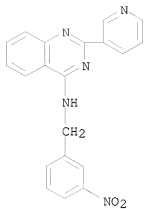


● 2 HCl

RN 157863-15-5 CAPLUS  
 CN 4-Quinazolinamine, N-[2-(1-methyl-1H-pyrrol-2-yl)ethyl]-2-(3-pyridinyl)-  
 (CA INDEX NAME)

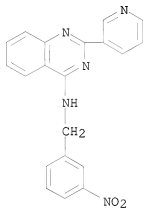


RN 157863-16-6 CAPLUS  
 CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)- (CA INDEX  
 NAME)



RN 157863-17-7 CAPLUS

CN 4-Quinazolinamine, N-[(3-nitrophenyl)methyl]-2-(3-pyridinyl)-,  
hydrochloride (1:2) (CA INDEX NAME)

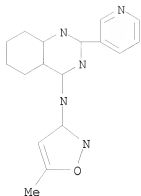


●2 HCl

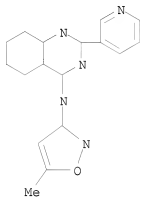
RN 157863-18-8 CAPLUS

CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)- (CA INDEX  
NAME)



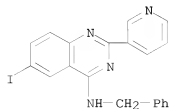


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 157863-19-9 CAPLUS  
 CN 4-Quinazolinamine, N-(5-methyl-3-isoxazolyl)-2-(3-pyridinyl)-,  
 hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

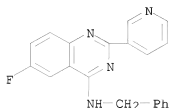
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 RN 157863-20-2 CAPLUS  
 CN 4-Quinazolinamine, 6-iodo-N-(phenylmethyl)-2-(3-pyridinyl)-, hydrochloride  
 (1:2) (CA INDEX NAME)



● 2 HCl

RN 157863-21-3 CAPLUS

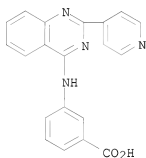
CN 4-Quinazolinamine, 6-fluoro-N-(phenylmethyl)-2-(3-pyridinyl)-,  
hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

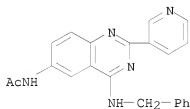
RN 157863-22-4 CAPLUS

CN Benzoic acid, 3-[[2-(4-pyridinyl)-4-quinazolinyl]amino]- (CA INDEX NAME)



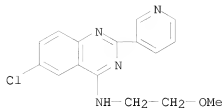
RN 157863-23-5 CAPLUS

CN Acetamide, N-[4-[(phenylmethyl)amino]-2-(3-pyridinyl)-6-quinazolinyl]-  
(CA INDEX NAME)

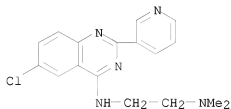


RN 157863-98-4 CAPLUS

CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)- (CA INDEX  
NAME)

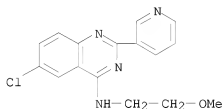


RN 157863-99-5 CAPLUS  
 CN 1,2-Ethanediamine, N2-[6-chloro-2-(3-pyridinyl)-4-quinazolinyl]-N1,N1-dimethyl-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

RN 157864-02-3 CAPLUS  
 CN 4-Quinazolinamine, 6-chloro-N-(2-methoxyethyl)-2-(3-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

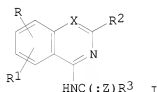
L7 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1985:523502 CAPLUS  
 DOCUMENT NUMBER: 103:123502  
 ORIGINAL REFERENCE NO.: 103:19757a,19760a  
 TITLE: Quinazoline and isoquinoline derivatives  
 INVENTOR(S): Timmerman, Hendrik; Van der Goot, Henderikus  
 PATENT ASSIGNEE(S): AKZO N. V. , Neth.  
 SOURCE: Eur. Pat. Appl., 16 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

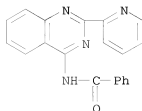
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 135975	A2	19850403	EP 1984-201386	19840928 <--
EP 135975	A3	19850612		
EP 135975	B1	19880914		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
WO 8501501	A1	19850411	WO 1984-EP312	19840928 <--
W: AU, DK, JP, US				
AU 8435518	A	19850423	AU 1984-35518	19840928 <--
AU 572585	B2	19880512		
ZA 8407673	A	19850529	ZA 1984-7673	19840928 <--
JP 61500019	T	19860109	JP 1984-503906	19840928 <--
AT 37183	T	19880915	AT 1984-201386	19840928 <--
CA 1255674	A1	19890613	CA 1984-464249	19840928 <--
US 4694000	A	19870915	US 1984-679000	19841206 <--
DK 8406043	A	19850411	DK 1984-6043	19841217 <--
PRIORITY APPLN. INFO.:			NL 1983-3328	A 19830929 <--
			EP 1984-201386	A 19840928 <--
			WO 1984-EP312	A 19840928 <--

OTHER SOURCE(S): MARPAT 103:123502

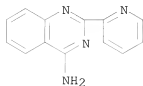
GI



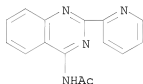
- AB Quinazolines and isoquinolines I (R, R1 = H, alkyl, alkoxy, halo, F3C; R2 = (un)substituted 2-pyridyl; R3 = H, (un)substituted alkyl, cycloalkyl, aryl; X = N, CH; Z = O, NH), useful as bactericides, protozoacides, and inhibitors of Mycoplasma (no data) were prepared. Thus, 2-H2NC6H4CONH2 was treated with 2-pyridinecarbonitrile to give 61% 4-amino-2-(2-pyridyl)quinazoline which was acylated with Ac2O to give 23% I (R = R1 = H, R2 = 2-pyridyl, R3 = Me, X = N, Z = O). The microbicidal activities of I are increased by the addition of Cu salts (no data).
- IT 91748-44-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and amination of)
- RN 91748-44-6 CAPLUS
- CN Benzamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



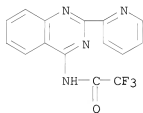
IT 40172-82-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reactions of)  
 RN 40172-82-5 CAPLUS  
 CN 4-Quinazolinamine, 2-(2-pyridinyl)- (CA INDEX NAME)



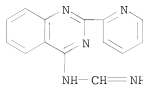
IT 91748-43-5P 91748-46-8P 91748-48-0P  
 91748-50-4P 91748-51-5P 91748-52-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 91748-43-5 CAPLUS  
 CN Acetamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



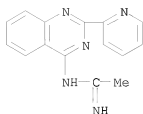
RN 91748-46-8 CAPLUS  
 CN Acetamide, 2,2,2-trifluoro-N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



RN 91748-48-0 CAPLUS  
 CN Methanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)

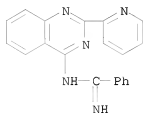


RN 91748-50-4 CAPLUS  
 CN Ethanimidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



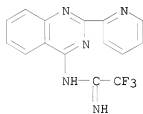
RN 91748-51-5 CAPLUS

CN Benzenecarboximidamide, N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



RN 91748-52-6 CAPLUS

CN Ethanimidamide, 2,2,2-trifluoro-N-[2-(2-pyridinyl)-4-quinazolinyl]- (CA INDEX NAME)



L7 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1979:439514 CAPLUS

DOCUMENT NUMBER: 91:39514

ORIGINAL REFERENCE NO.: 91:6449a,6452a

TITLE: Copper complexes of phenanthroline, isoquinoline, and quinazoline derivatives useful in combatting mycoplasma infections

INVENTOR(S): Nauta, W. T.

PATENT ASSIGNEE(S): Gist-Brocades N. V., Neth.

SOURCE: Ger. Offen., 62 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

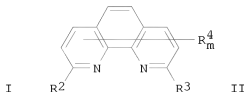
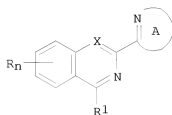
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2826526	A1	19790104	DE 1978-2826526	19780616 <--

NL 7713938	A	19790619	NL 1977-13938	19771215
GB 2002746	A	19790228	GB 1978-27117	19780616 <--
DK 7802750	A	19781218	DK 1978-2750	19780619 <--
SE 7807001	A	19781218	SE 1978-7001	19780619 <--
BE 868249	A1	19781219	BE 1978-188676	19780619 <--
NL 7806573	A	19781219	NL 1978-6573	19780619 <--
FR 2401155	A1	19790323	FR 1978-18282	19780619 <--
US 4269834	A	19810526	US 1978-916541	19780619 <--
CA 1102329	A1	19810602	CA 1978-305746	19780619 <--
FR 2422659	A1	19791109	FR 1979-6395	19790313 <--
PRIORITY APPLN. INFO.:			GB 1977-25539	A 19770617 <--
OTHER SOURCE(S):		MARPAT 91:39514	NL 1977-13938	A 19771215 <--
GI				

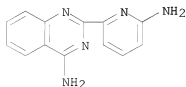


AB Cu complexes of I [R = H, alkyl, halogen; R1 = H, halogen, Ph, (alkyl-substituted) NH2; n = 1-4; A = (substituted) pyridyl or 2-imidazolyl; X = N, alkylidene] or II (R2 = R3 = H, halogen, alkyl, alkoxy, NH2; R4 = H, alkyl, halogen; m = 1-6) were prepared for use as antimycoplasmic agents (test data tabulated). Thus, 2-MeC6H4CN was added to K in liquid NH3, followed by the addition of 1-methyl-2-cyano-1H-imidazole to give I (Rn = H, R1 = NH2, X = CH, A = 1-methyl-2-imidazolyl), which reacted with CuNO2 to give the Cu(I) complex.

IT 69768-01-0P  
RL: SPN (Synthetic preparation); PREP (Preparation of)  
(preparation of)

RN 69768-01-0 CAPLUS

CN 4-Quinazolinamine, 2-(6-amino-2-pyridinyl)- (CA INDEX NAME)



L7 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1975:479282 CAPLUS

DOCUMENT NUMBER: 83:79282

ORIGINAL REFERENCE NO.: 83:12454h,12455a

TITLE: Bactericidal and antihypertensive 4-aminoquinazoline compounds

INVENTOR(S): Nauta, Wijbe T.

PATENT ASSIGNEE(S): N. V. Koninklijke Pharmaceutische Fabrieken Voorheen Brocades-Stheeman & Pharmacia, Neth.

SOURCE: Brit., 4 pp. Division of Brit. 1,390,014.

CODEN: BRXXAA

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	GB 1390015	A	19750409	GB 1974-47849	19720505 <--
PRIORITY APPLN. INFO.:				GB 1974-47849	A 19720505 <--

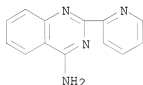
GI For diagram(s), see printed CA Issue.

AB Ten title compds. I (R = pyrrolidyl, 2-, 3-, and 4-pyridyl, 2-furyl, 1-methyl-2-pyrrolyl; R1 = H, Cl, MeO; R2 = H, MeO) were prepared from 2-aminobenzonitriles by treatment with heterocyclic nitriles. Thus, I (R = pyrrolidyl, R1 = R2 = H) was prepared from 2-H2NC6H4CN in Et2O by refluxing with 1-pyrrolidinenitrile 4 hr under N in the presence of PhBr-Li followed by treatment with H2O. I showed bactericidal activity (no data) towards Mycoplasma gallisepticum and Pasteurella multocida. The antihypertensive activities of I were assessed in rats (no data).

IT 40172-82-5P 40172-83-6P 40172-84-7P  
 40172-87-0P 40172-88-1P 40172-98-3P  
 40172-99-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (bactericide and antihypertensive, preparation of)

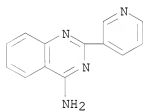
RN 40172-82-5 CAPLUS

CN 4-Quinazolinamine, 2-(2-pyridinyl)- (CA INDEX NAME)



RN 40172-83-6 CAPLUS

CN 4-Quinazolinamine, 2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

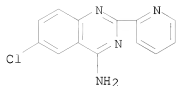


●2 HCl

RN 40172-84-7 CAPLUS

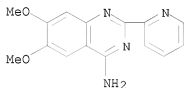
CN 4-Quinazolinamine, 6-chloro-2-(2-pyridinyl)- (CA INDEX NAME)





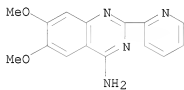
RN 40172-87-0 CAPLUS

CN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridinyl)- (CA INDEX NAME)



RN 40172-88-1 CAPLUS

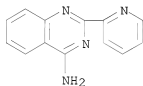
CN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridinyl)-, hydrochloride (1:1)  
(CA INDEX NAME)



● HCl

RN 40172-98-3 CAPLUS

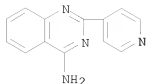
CN 4-Quinazolinamine, 2-(2-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 40172-99-4 CAPLUS

CN 4-Quinazolinamine, 2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

L7 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:72180 CAPLUS  
 DOCUMENT NUMBER: 78:72180  
 ORIGINAL REFERENCE NO.: 78:11481a,11484a  
 TITLE: Pyrimidine derivatives  
 PATENT ASSIGNEE(S): N. V. Koninklijke Pharmaceutische Fabrieken Voorheen  
 Brocades-Stheeman & Pharmacia  
 SOURCE: Neth. Appl., 19 pp.  
 CODEN: NAXXAN  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Dutch  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

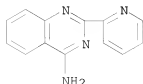
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 7206067	A	19721109	NL 1972-6067	19720505 <--
JP 56001315	B	19810113	JP 1972-44512	19720504 <--
NO 139270	B	19781023	NO 1972-1600	19720505 <--
NO 139270	C	19790131		
SE 406197	B	19790129	SE 1972-5960	19720505 <--
SE 406197	C	19790510		

PRIORITY APPLN. INFO.: GB 1971-13802 A 19710507 <--

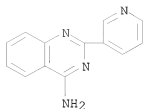
GI For diagram(s), see printed CA Issue.

AB Aminoquinazolines (I, R = NMe<sub>2</sub>, NEt<sub>2</sub>, pyrrolidino, 2-furyl, 2-pyridyl, 1-methyl-2-pyrrolyl, 4-(2-furoyl)-1-piperazinyl; R<sub>1</sub> = R<sub>2</sub> = H, OMe; R<sub>1</sub> = Cl, R<sub>2</sub> = H) were prepared by treating the corresponding o-aminobenzonitrile with RCN and PhLi. Thus, reaction of o-H<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CN with Et<sub>2</sub>NCN and PhLi gave I (R = NEt<sub>2</sub>, R<sub>1</sub> = R<sub>2</sub> = H).

IT 40172-82-5P 40172-83-6P 40172-84-7P  
 40172-87-0P 40172-88-1P 40172-98-3P  
 40172-99-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 40172-82-5 CAPLUS  
 CN 4-Quinazolinamine, 2-(2-pyridinyl)- (CA INDEX NAME)

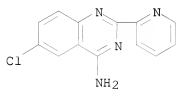


RN 40172-83-6 CAPLUS  
 CN 4-Quinazolinamine, 2-(3-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)

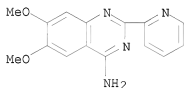


● 2 HCl

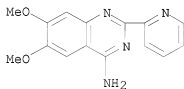
RN 40172-84-7 CAPLUS  
CN 4-Quinazolinamine, 6-chloro-2-(2-pyridinyl)- (CA INDEX NAME)



RN 40172-87-0 CAPLUS  
CN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridinyl)- (CA INDEX NAME)

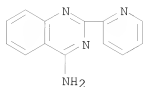


RN 40172-88-1 CAPLUS  
CN 4-Quinazolinamine, 6,7-dimethoxy-2-(2-pyridinyl)-, hydrochloride (1:1)  
(CA INDEX NAME)



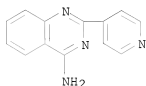
● HCl

RN 40172-98-3 CAPLUS  
CN 4-Quinazolinamine, 2-(2-pyridinyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 40172-99-4 CAPLUS  
CN 4-Quinazolinamine, 2-(4-pyridinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

=> fil stnguide

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	172.44	548.74
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-24.60	-24.60

FILE 'STNGUIDE' ENTERED AT 17:37:14 ON 03 MAR 2009  
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT  
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FILE CONTAINS CURRENT INFORMATION.  
LAST RELOADED: Feb 27, 2009 (20090227/UP).

=>

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	3.01	551.75
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-24.60

FILE 'REGISTRY' ENTERED AT 18:02:47 ON 03 MAR 2009  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 MAR 2009 HIGHEST RN 1114593-79-1  
DICTIONARY FILE UPDATES: 2 MAR 2009 HIGHEST RN 1114593-79-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

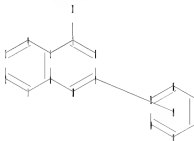
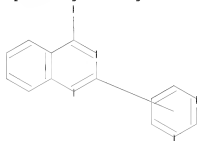
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10552426.str



ring nodes :  
1 2 3 4 5 6 7 8 9 10 13 14 15 16 17 18  
ring/chain nodes :  
11  
chain bonds :  
7-11  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16  
16-17 17-18  
exact/norm bonds :  
7-11  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 13-14 13-18 14-15 15-16  
16-17 17-18  
isolated ring systems :  
containing 1 : 13 :

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom

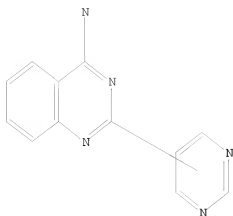
L8 STRUCTURE UPLOADED

=> d 18

L8 HAS NO ANSWERS

L8

STR



Structure attributes must be viewed using STN Express query preparation.

=> s l8

SAMPLE SEARCH INITIATED 18:05:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 914 TO ITERATE

100.0% PROCESSED 914 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 16467 TO 20093

PROJECTED ANSWERS: 0 TO 0

L9 0 SEA SSS SAM L8

=> s l8 sss full

FULL SEARCH INITIATED 18:06:08 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 18460 TO ITERATE

100.0% PROCESSED 18460 ITERATIONS

6 ANSWERS

SEARCH TIME: 00.00.01

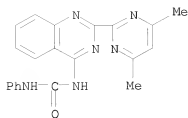
L10 6 SEA SSS FUL L8

=> d scan

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Urea, N-[2-(4,6-dimethyl-2-pyrimidinyl)-4-quinazolinyl]-N'-phenyl-

MF C21 H18 N6 O



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

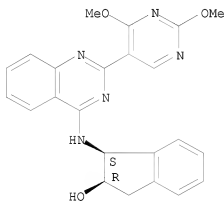
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):5

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)-

MF C23 H21 N5 O3

Absolute stereochemistry.



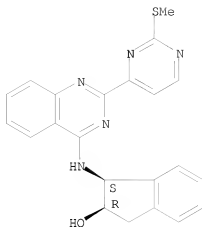
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(2-(methylthio)-4-pyrimidinyl)-4-quinazolinyl]amino]-, (1S,2R)-

MF C22 H19 N5 O S

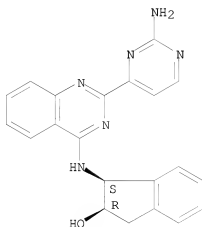
Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 1H-Inden-2-ol, 1-[[2-(2-amino-4-pyrimidinyl)-4-quinazolinyl]amino]-2,3-  
 dihydro-, (1S,2R)-  
 MF C21 H18 N6 O

Absolute stereochemistry.

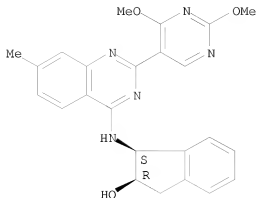


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidinyl)-7-methyl-4-  
 quinazolinyl]amino]-2,3-dihydro-, (1S,2R)-  
 MF C24 H23 N5 O3

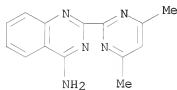
Absolute stereochemistry.





\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L10 6 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN  
 IN 4-Quinazolinamine, 2-(4,6-dimethyl-2-pyrimidinyl)-  
 MF C14 H13 N5



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

=> fil cap

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION

FULL ESTIMATED COST

188.76	740.51
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION

CA SUBSCRIBER PRICE

0.00	-24.60
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FILE 'CAPLUS' ENTERED AT 18:07:08 ON 03 MAR 2009

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FILE COVERS 1907 - 3 Mar 2009 VOL 150 ISS 10  
FILE LAST UPDATED: 2 Mar 2009 (20090302/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 17:29:05 ON 03 MAR 2009)

FILE 'REGISTRY' ENTERED AT 17:29:15 ON 03 MAR 2009

L1 STRUCTURE UPLOADED  
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L3 0 S L1 SSS FULL  
L4 STRUCTURE UPLOADED  
L5 38 S L4 SSS SAM  
L6 1075 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 17:35:50 ON 03 MAR 2009

L7 30 S L6 AND (PRY<2003)

FILE 'STNGUIDE' ENTERED AT 17:37:14 ON 03 MAR 2009

FILE 'REGISTRY' ENTERED AT 18:02:47 ON 03 MAR 2009

L8 STRUCTURE UPLOADED  
L9 0 S L8  
L10 6 S L8 SSS FULL

FILE 'CAPLUS' ENTERED AT 18:07:08 ON 03 MAR 2009

=> s l10 and (pry<2004)

2 L10  
4267750 PRY<2004  
L11 1 L10 AND (PRY<2004)

=> d ibib abs hitstr

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:902403 CAPLUS  
DOCUMENT NUMBER: 141:374752  
TITLE: Heterocyclic compound modulators of kinases,  
particularly Tie-2 kinase, and use in the treatment of  
kinase-dependent diseases  
INVENTOR(S): Ibrahim, Mohamed; Leahy, James; Sangalang, Joan C.;  
Schnepp, Kevin; Shi, Xian; Nuss, John  
PATENT ASSIGNEE(S): Exelixis, Inc., USA  
SOURCE: PCT Int. Appl., 91 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004092196	A2	20041028	WO 2004-US10858	20040408 <--
WO 2004092196	A3	20050317		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004230928	A1	20041028	AU 2004-230928	20040408 <--
CA 2520323	A1	20041028	CA 2004-2520323	20040408 <--
EP 1610774	A2	20060104	EP 2004-749893	20040408 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
JP 2006523238	T	20061012	JP 2006-509820	20040408 <--
US 20070161651	A1	20070712	US 2005-552426	20051007 <--
PRIORITY APPLN. INFO.:			US 2003-461446P	P 20030409 <--
			WO 2004-US10858	A 20040408

OTHER SOURCE(S): MARPAT 141:374752

AB The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly Tie-2. Methods of using the compds. and pharmaceutical compns. thereof to treat kinase-dependent diseases and conditions are also an aspect of the invention. Preparation of quinazoline compds. of the invention is described.

IT 781615-68-7 781615-79-0 781615-81-4

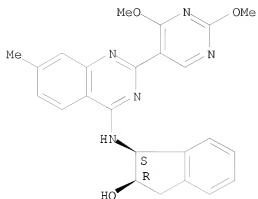
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(heterocyclic compound modulators of kinases, particularly Tie-2 kinase, and use in treatment of kinase-dependent diseases)

RN 781615-68-7 CAPLUS

CN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidinyl)-7-methyl-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

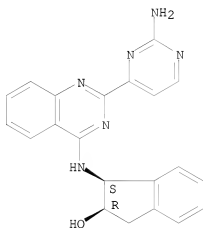
Absolute stereochemistry.



RN 781615-79-0 CAPLUS

CN 1H-Inden-2-ol, 1-[[2-(2-amino-4-pyrimidinyl)-4-quinazolinyl]amino]-2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

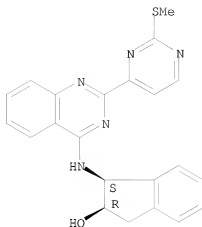
Absolute stereochemistry.



RN 781615-81-4 CAPLUS

CN 1H-Inden-2-ol, 2,3-dihydro-1-[[2-(2-(methylthio)-4-pyrimidinyl)-4-quinazolinyl]amino]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



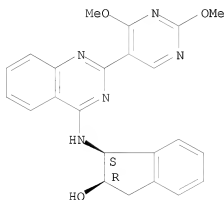
IT 781615-97-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(heterocyclic compound modulators of kinases, particularly Tie-2 kinase,  
and use in treatment of kinase-dependent diseases)

RN 781615-97-2 CAPLUS

CN 1H-Inden-2-ol, 1-[[2-(2,4-dimethoxy-5-pyrimidinyl)-4-quinazolinyl]amino]-  
2,3-dihydro-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> logoff

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
8.38	748.89

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 18:07:52 ON 03 MAR 2009

